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TERMINAL (ENTER 1, 2, 3, OR ?):2

* * *	* *	* *	* *	* Welcome to STN International * * * * * * * * * *
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NEWS	3	OCT	19	BEILSTEIN updated with new compounds
NEWS	4	NOV	15	Derwent Indian patent publication number format enhanced
NEWS	5	NOV	19	WPIX enhanced with XML display format
NEWS	6	NOV	30	ICSD reloaded with enhancements
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NEWS	8	DEC	14	BEILSTEIN pricing structure to change
NEWS	9	DEC	17	USPATOLD added to additional database clusters
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NEWS				DGENE now includes more than 10 million sequences
NEWS	12	DEC	17	TOXCENTER enhanced with 2008 MeSH vocabulary in
				MEDLINE segment
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NEWS				
NEWS	15	DEC	17	STN Viewer enhanced with full-text patent content from USPATOLD
NEWS	16	JAN	02	STN pricing information for 2008 now available
NEWS	17	JAN	16	CAS patent coverage enhanced to include exemplified
				prophetic substances
NEWS	18	JAN	28	USPATFULL, USPAT2, and USPATOLD enhanced with new
				custom IPC display formats
NEWS				MARPAT searching enhanced
NEWS	20	JAN	28	USGENE now provides USPTO sequence data within 3 days
				of publication
NEWS				TOXCENTER enhanced with reloaded MEDLINE segment
NEWS				MEDLINE and LMEDLINE reloaded with enhancements
NEWS				STN Express, Version 8.3, now available
NEWS				PCI now available as a replacement to DPCI
NEWS				IFIREF reloaded with enhancements
NEWS				IMSPRODUCT reloaded with enhancements
NEWS	27	FEB	29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current
				U.S. National Patent Classification

NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

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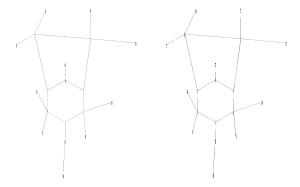
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http://www.cas.org/support/stngen/stndoc/properties.html

=>

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chain nodes:
10 11 12 13 14 15 16 17 18 20 22
ring nodes:
1 2 3 4 5 6 7 8
chain bonds:
1-18 2-16 2-17 4-22 6-14 6-15 7-12 7-13 8-10 8-11 18-20
ring bonds:
1-2 1-6 2-3 3-4 3-8 4-5 5-6 5-7 7-8
exact/norm bonds:
1-2 1-6 1-18 2-3 3-4 4-5 5-6 18-20
exact bonds:
1-2 2 1-6 1-18 2-3 3-4 4-5 5-6 18-20
exact bonds:
1-2 1-6 2-17 3-8 4-22 5-7 6-14 6-15 7-8 7-12 7-13 8-10 8-11
isolated ring systems:
containing 1:
```

G1:0,S,N

G2:C,H

Match level: 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 20:Atom 22:CLASS

# L1 STRUCTURE UPLOADED

=> d 11 L1 HAS NO ANSWERS L1 STR

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Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 12:26:44 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 942 TO ITERATE

100.0% PROCESSED 942 ITERATIONS

10 ANSWERS SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\* BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 16999 TO 20681 PROJECTED ANSWERS: 11 TO 389

10 SEA SSS SAM L1

=> s 11 full

FULL SEARCH INITIATED 12:26:48 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 18201 TO ITERATE

100.0% PROCESSED 18201 ITERATIONS 278 ANSWERS

SEARCH TIME: 00.00.01

278 SEA SSS FUL L1

=> file caplus

SINCE FILE TOTAL ENTRY SESSION 178.36 178.57 COST IN U.S. DOLLARS FULL ESTIMATED COST

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=> s 13 full L4 28 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1364459 CAPLUS DOCUMENT NUMBER: 148:33707

TITLE: Fused bicycloheterocycle substituted azabicyclic

alkane derivatives and their preparation, pharmaceutical compositions and use in the treatment

of diseases

INVENTOR(S): Ji, Jianquo; Li, Tao; Lynch, Christopher L.;

Gopalakrishnan, Murali
PATENT ASSIGNEE(S): Abbott Laboratories, USA

SOURCE: PCT Int. Appl., 107pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

GI

	PATENT NO.							KIND DATE			ICAT						
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		KN,	KΡ,	KR,	ΚZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ME,	MG,
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		ΒJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,
		GH,	GM,	KΕ,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,
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US 2008045539						A1 20080221 US 2007-748527						20070515					
PRIORITY	APP	LN.	INFO	. :	US 2006-802195P							1	P 20060519				
OTHER SOURCE(S):						MARPAT 148:33707											

AB The invention relates to fused bicycloheterocycle substituted azabicyclic alkane derivs. of formula I, compns. comprising such compds., and methods of treating conditions and disorders using such compds. and compns. Compds. of formula I wherein X is (CH2)1-3; A is N and N+O-; R is H, alkyl, cycloalkylalkyl, and arylalkyl; L is O, S, and NH and derivs.; Arl

is 6-membered (hetero)aryl; Ar2 is bicyclic heteroaryl; and their pharmaceutically acceptable salts, esters, amides, and prodrugs thereof, are claimed. Example compound endo-II-TFA was prepared by 0-arylation of endo-tropine with 3,6-dichloropyridazine; the resulting endo-3-(6-chloropyridazin-3-yloxy)-8-methyl-8-azabicyclo[3.2.1]octane underwent cross-coupling with 5-(4,4,5,5-tetramethyl[1,3,2]dioxaborolan-2-yl)-IH-indole to give endo-II-TFA. All the invention compds. were evaluated for nACRB binding affinity.

IT 959394-80-0P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (USes) (drug candidate and intermediate; preparation of fused bicycloheterocycle substituted azabicyclic alkane derivs. useful in treatment and prevention of diseases)

RN 959394-80-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[6-(1H-indol-5-yl)-3-pyridinyl]oxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

IT 959157-91-6P 959157-95-0P 959157-96-1P 959158-57-7P 959158-58-8P 959394-73-1P 959394-74-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of fused bicycloheterocycle substituted azabicyclic alkane derivs. useful in treatment and prevention of diseases)

RN 959157-91-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[6-(1H-indol-5-yl)-3-pyridinyl]oxy]-, hydrochloride (1:2), (3-endo)- (CA INDEX NAME)

Relative stereochemistry.

●2 HC1

RN 959157-95-0 CAPLUS

CN 2H-Indol-2-one, 5-[5-[(3-endo)-8-azabicyclo[3.2.1]oct-3-yloxy]-2pyridinyl]-1,3-dihydro- (CA INDEX NAME)

Relative stereochemistry.

RN 959157-96-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[6-(1H-pyrrolo[2,3-b]pyridin-5-yl)-3pyridinyl]oxy]-, (3-endo)- (CA INDEX NAME)

Relative stereochemistry.

RN 959158-57-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[6-(1H-indol-4-y1)-3-pyridinyl]oxy]-, (3-endo)- (CA INDEX NAME)

Relative stereochemistry.

RN 959158-58-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[6-(1H-indol-4-yl)-3-pyridinyl]oxy]-, (3-endo)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME) CM 1

CRN 959158-57-7

CMF C20 H21 N3 O

# Relative stereochemistry.

CM :

CRN 76-05-1 CMF C2 H F3 O2

RN 959394-73-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[6-(1H-indol-5-y1)-3-pyridiny1]oxy]-, hydrochloride (1:2), (3-exo)- (CA INDEX NAME)

RN 959394-74-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[6-(1H-pyrrolo[2,3-b]pyridin-5-y1)-3-pyridinyl]oxyl-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

IT 959157-93-8P 959158-13-5P 959394-79-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of fused bicycloheterocycle substituted azabicyclic alkane derivs. useful in treatment and prevention of diseases)

RN 959157-93-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[6-(1H-indol-5-yl)-3-pyridinyl]oxy]-, (3-endo)- (CA INDEX NAME)

Relative stereochemistry.

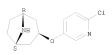
RN 959158-13-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-chloro-3-pyridinyl)oxy]-, (3-endo)- (CA INDEX NAME)

Relative stereochemistry.

RN 959394-79-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-chloro-3-pyridinyl)oxy]-, (3-exo)- (CA INDEX NAME)



L4 ANSWER 2 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:935076 CAPLUS

DOCUMENT NUMBER: 147:300991

TITLE: Preparation of novel chromen-2-one derivatives and their use as monoamine neurotransmitter re-uptake

Peters, Dan; Redrobe, John Paul; Nielsen, Elsebet

Oestergaard

PATENT ASSIGNEE(S): Neurosearch A/S, Den. SOURCE: PCT Int. Appl., 27pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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		0936			A1	-	2007	0823	1	WO 2	007-1	EP51	401		2	0070	
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PRIORITY APPLN. INFO.:									DK 2006-233					A 20060217			
									1	US 2	006-	7746	1	P 20060221			
OTHER SOU	MARPAT 147:300991																

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The title compds. I [O = chromen-2-one group which is optionally AB substituted with one or more substituents independently selected from the group consisting of: halo, CF3, OCF3, CN, OH, NH2, NO2, alkoxy, cycloalkoxy, alkyl, cycloalkyl, cycloalkylalkyl, alkenyl and alkynyl; R1 = H or (un)substituted alkyl; R2 and R3 together form CH2CH2 or CH:CH], useful as monoamine neurotransmitter re-uptake inhibitors, were prepared For example, treating exo-7-[(8-tert-butoxycarbonyl-8-azabicyclo[3.2.1]oct-3-y1)oxy]-3-bromochromen-2-one with hydrogen chloride in acetic acid at r.t. for 3 h afforded 99% exo-3-bromo-7-[(8-methyl-8-azabicyclo[3.2.1]oct-3-y1)oxy]-3-bromochromo-2-one hydrochloride. A number of compds. I were tested for their ability to inhibit the reuptake of the monoamine neurotransmitters dopamine, noradrenaline and serotonin (data given for representative compds. I). In other aspects the invention relates to the use of the compds. I in a method for therapy and to pharmaceutical compns. comprising the compds. I.

IT 881387-66-2P 947185-43-5P 947185-44-6P

947185-45-7P 947185-46-8P 947185-61-7P 947185-62-8P 947185-63-9P 947185-64-0P 947185-65-1P 947185-83-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of novel chromenone derivs. as monoamine neurotransmitter reuptake inhibitors useful in treatment and prevention of diseases) 881387-66-2 CAPLUS

RN 881387-66-2 CAPLUS
CN 2H-1-Benzopyran-2-one, 7-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-,
hydrochloride (1:1) (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 947185-43-5 CAPLUS

CN 2H-1-Benzopyran-3-carbonitrile, 7-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]2-oxo-, hydrochloride (1:1) (CA INDEX NAME)

Relative stereochemistry.

HC1

RN 947185-44-6 CAPLUS

CN 2H-1-Benzopyran-2-one, 6-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-3-bromo, hydrochloride (1:1) (CA INDEX NAME)

Relative stereochemistry.

● HC1

RN 947185-45-7 CAPLUS

CN 2H-1-Benzopyran-2-one, 7-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-3-chloro-, hydrochloride (1:1) (CA INDEX NAME)

Relative stereochemistry.

HC1

RN 947185-46-8 CAPLUS

CN 2H-1-Benzopyran-3-carbonitrile, 7-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-4-methyl-2-oxo-, hydrochloride (1:1) (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 947185-61-7 CAPLUS

CN 2H-1-Benzopyran-2-one, 7-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-3-bromo-(CA INDEX NAME)

Relative stereochemistry.

RN 947185-62-8 CAPLUS

CN

2H-1-Benzopyran-3-carbonitrile, 7-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-2-oxo- (CA INDEX NAME)

RN 947185-63-9 CAPLUS

CN 2H-1-Benzopyran-2-one, 6-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-3-bromo-(CA INDEX NAME)

Relative stereochemistry.

$$\bigcap_{c}^{R} \bigcap_{NH} \bigcap_{O} \bigcap_{Br}$$

RN 947185-64-0 CAPLUS

CN 2H-1-Benzopyran-2-one, 7-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-3-chloro-(CA INDEX NAME)

Relative stereochemistry.

RN 947185-65-1 CAPLUS

CN 2H-1-Benzopyran-3-carbonitrile, 7-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]4-methyl-2-oxo- (CA INDEX NAME)

Relative stereochemistry.

RN 947185-83-3 CAPLUS

CN 2H-1-Benzopyran-2-one, 7-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-3-bromo-, hydrochloride (1:1) (CA INDEX NAME)

HCl

IT 881387-68-4

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of novel chromenone derive. as monoamine neurotransmitter reuptake inhibitors useful in treatment and prevention of diseases)

RN 881387-68-4 CAPLUS CN 2H-1-Benzopyran-2-o:

V 2H-1-Benzopyran-2-one, 7-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]- (CA INDEX NAME)

Relative stereochemistry.

REFERENCE COUNT:

3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L4 ANSWER 3 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:933108 CAPLUS

DOCUMENT NUMBER: 147:301188

TITLE: Preparation of novel amino alcohol-substituted

arylthienopyrimidinones, process for their preparation

and their use as medicaments INVENTOR(S):

Schwink, Lothar; Stengelin, Siegfried; Gossel, Matthias; Hessler, Gerhard; Haack, Torsten; Lennig,

Petra

PATENT ASSIGNEE(S): Sanofi-Aventis, Fr.

SOURCE: PCT Int. Appl., 166pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PATENT NO.					D				APPLICATION NO.						DATE			
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WO	2007	0933	65		A2		2007	0823		WO 2	007-	EP12	13		2	0070:	213		
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		KG,	ΚZ,	MD,	RU,	ΤJ,	TM,	AP,	EA,	EP,	OA								
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PRIORITY APPLN. INFO .:

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AB

Ι

H or alkyl, R5 = H, halo, OH , CN, (un)substituted alkoxy, etc.; D = N or CR6; A = bond or 1-8 membered linker, B = H, alkyl, hydroxyalkyl; L = bond or alkylene; Q = (un)saturated bicyclic, tricyclic, spirocyclic ring with 0-3 heteroatoms, or NR7R8 where R7 and R8 independently = H, (un)substituted alkyl, alkoxyalkyl, etc.], and their pharmaceutically acceptable salts, are prepared and disclosed as MCH antagonists. Thus, e.g., II was prepared by hydrogenation of  $6-((C)^2-\text{c-thoxyvinyl})^{-3}-(3-\text{fluoro}-4-(2-\text{pyrrolldin-1-ylethoxy})\text{phenyl}]-3H-thieno[3,2-d]pyrimidin-4-one (preparation given). In calcium immobilization assays, selected I demonstrated ICSO values ranging from 0.10 - 13.04 <math display="inline">\mu\text{M}$ .

IT 947176-17-2P 947176-33-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of novel amino alc.-substituted arylthienopyrimidinones as MCH antagonists)

RN 947176-17-2 CAPLUS

CN Thieno[3,2-d]pyrimidin-4(3H)-one, 3-[4-[(3-endo)-8-azabicyclo[3.2.1]oct-3-yloxy]phenyl]-6-(4-chlorophenyl)-, hydrochloride (1:1) (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 947176-33-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-nitrophenoxy)-, (3-exo)- (CA INDEX NAME)

L4 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:932835 CAPLUS 147:301001

DOCUMENT NUMBER: TITLE: Preparation of aminoalcohol-substituted

aryldihydroisoquinolinones, process for their preparation and their use as medicaments

INVENTOR(S): Schwink, Lothar; Stengelin, Siegfried; Gossel, Matthias; Hessler, Gerhard; Haack, Torsten; Lennig,

Petra

PATENT ASSIGNEE(S): Sanofi-Aventis, Fr. PCT Int. Appl., 140pp.

SOURCE:

CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	ENT :				KIN	D	DATE		APPLICATION NO.						DATE		
						A1 20070823							20070213				
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,
		KΡ,	KR,	ΚZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,
		MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,
		RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ТJ,	TM,	TN,	TR,	TT,
		TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	zw						
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ΒJ,
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	KZ,	MD,	RU,	TJ,	TM										

DE 2006-102006007048A 20060215

PRIORITY APPLN. INFO.:

OTHER SOURCE(S): GI

MARPAT 147:301001

AB Title compds. I [D = N, CR5; R1, R2, R3, and R5 independently = H, halo, OH, CF3, alkoxy, etc.; R4 = H, halo, CF30, alkyl, alkenyl, etc.; A = bond or 1-8 membered linker; B = H, hydroxyalkyl, alkoxyalkyl, etc.; X = S, O, C(R6)=C(R7); R6 and R7 independently = H, halo, NO2, CN, CO2H, etc.; Y = C(R8)(R9)C(R10)(R11) or C(R12)=C(R13); R8-13 independently = H or alkyl; L = bond or alkylene; Q = NH2, NH-alkyl, (un)saturated (un)substituted bicyclic, tricyclic, etc.], and their pharmaceutically acceptable salts, are prepared and disclosed as MCH antagonists. Thus, e.g., II was prepared by alkylation of 2-[3-chloro-4-((IR,3R,55)-8-methyl-8-azabicyclo[3.2.1]oct-3-yloxy)phenyl]-6-hydroxy-3, 4-dihydro-2H-isoquinolin-lone (preparation given) with 1-iodopropane. In calcium immobilization assays, selected I demonstrated IC50 values ranging from 0.13 - 14.84 uM.

IT 947234-34-6P 947234-59-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminoalc.-substituted aryldihydroisoquinolinones as MCH antagonists)

RN 947234-34-6 CAPLUS

CN 1(2H)-Isoquinolinone, 2-[4-[(3-endo)-8-azabicyclo[3.2.1]oct-3-yloxy]-3chlorophenyl]-6-[[(2S)-tetrahydro-2-furanyl]methoxy]- (CA INDEX NAME)

Absolute stereochemistry.

RN 947234-59-5 CAPLUS

CN 1(2H)-Isoquinolinone, 2-[4-[(3-endo)-8-azabicyclo[3.2.1]oct-3yloxy]phenyl]-3,4-dihydro-6-[((2S)-tetrahydro-2-furanyl]methoxy]- (CA
INDEX NAME)

Absolute stereochemistry.

IT 947234-80-2 947234-81-3

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of aminoalc.-substituted aryldihydroisoquinolinones as MCH antagonists)

RN 947234-80-2 CAPLUS

CN 1(2H)-Isoquinolinone, 2-[4-[(3-endo)-8-azabicyclo[3.2.1]oct-3-yloxy]-3-fluorophenyl]-3,4-dihydro-6-[[(2R)-tetrahydro-2-furanyl]methoxy]- (CA

#### INDEX NAME)

#### Absolute stereochemistry.

- RN 947234-81-3 CAPLUS
- CN 1(2H)-Isoquinolinone, 2-[4-[(3-endo)-8-azabicyclo[3.2.1]oct-3yloxy]phenyl]-6-[[(2S)-tetrahydro-2-furanyl]methoxy]- (CA INDEX NAME)

## Absolute stereochemistry.

- IT 947234-69-7P 947234-74-4P
  - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
  - (preparation of aminoalc.-substituted aryldihydroisoquinolinones as MCH antagonists)
- RN 947234-69-7 CAPLUS
- CN 8-Azabicyclo[3.2.1]octane, 3-(2-fluoro-4-nitrophenoxy)-, (3-endo)- (CA INDEX NAME)

### Relative stereochemistry.

- RN 947234-74-4 CAPLUS
- CN 1(2H)-Isoquinolinone, 2-[4-[(3-endo)-8-azabicyclo[3.2.1]oct-3-yloxy]-3fluorophenyl]-6-[[(2R)-tetrahydro-2-furanyl]methoxy]- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:873791 CAPLUS

DOCUMENT NUMBER: 147:235029

TITLE: Preparation of 8-azabicvclo[3.2.1]octane derivatives as monoamine neurotransmitter reuptake inhibitors

INVENTOR(S): Napier, Susan Elizabeth; Bingham, Matilda Jane;

Dunbar, Neil Andrew PATENT ASSIGNEE(S): N.V. Organon, Neth.

SOURCE: U.S. Pat. Appl. Publ., 35pp.

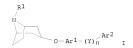
CODEN: USXXCO DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO. APPLICATION NO. KIND DATE DATE ----\_\_\_\_\_ US 2007185156 A1 20070809 US 2006-607574 US 2006-607574 20061201 US 2005-741320P P 20051201 PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 147:235029



The title 8-azabicyclo[3.2.1]octane derivs. [I; wherein R1 = H or C1-5 AB alkv1; Y = 0, S or O(CH2)m; m = 1, 2; n = 0.1; Ar1 = each (un)substituted phenylene or pyridylene, said phenylene and pyridylene being 1,3-linked with respect to 0 and when n is 1 with Y and when n is 0 with Ar2; Ar2 = each (un)substituted Ph or 5-6 membered heteroaryl] or pharmaceutically acceptable salts or solvates thereof are prepared These compds. are monoamine neurotransmitter reuptake inhibitors which in vitro inhibit the reuptake of one or more of serotonin, noradrenaline and dopamine in cells stably transfected with the human serotonin, noradrenaline, and dopamine transporters. They are useful for the treatment or prevention of diseases for which the reuptake inhibition of one or more monoamines contributes to the therapeutic effect, e.g. a disease or disorder of the nervous system, both centrally and peripherally which is responsive to monoamine neurotransmission reuptake, more specifically depression, anxiety, pain, panic disorders, attention deficit hyperactivity disorder (ADHD), or obsessive compulsive disorder. Thus, di-Et azodicarboxylate (1.89 mL) was added dropwise to a solution of endo-3-hydroxy-8-azabicyclo[3.2.1]octane-8carboxylic acid tert-Bu ester (2.27 g), Ph3P (3.15 g) and 3-phenoxyphenol (1.93 mL) in 60 mL THF. The reaction mixture was stirred under a nitrogen atmospheric for 72 h at ambient temperature to give, after workup, silica gel chromatog., and treatment with HCl in methanol, 3-exo-(3-phenoxyphenoxy)-8azabicyclo[3.2.1]octane hydrochloride. In vitro test for the inhibition of dopamine and serotonin uptake was performed in Chinese hamster ovary cells expressing the human dopamine transporter (hDAT) or the human serotonin transporter (hSERT) and in vitro test for the inhibition of noradrenaline uptake was performed in Madin Darby canine kidney cells (MDCK) expressing the human noradrenaline transporter (hNET). 3-Exo-(3-chloro-5-phenoxyphenoxy)-8-azabicyclo[3.2.1]octane showed pEC50 of >7, 6-7, and <6 for hDAT, hNET, and hSERT, resp. IT 939788-79-1P, exo-3'-[(8-Azabicyclo[3.2.1]oct-3-y1)oxy]biphenyl-4-

carbonitrile RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of 8-azabicyclo[3.2.1]octane derivs. as monoamine neurotransmitter reuptake inhibitors)

RN 939788-79-1 CAPLUS

[1,1'-Biphenyl]-4-carbonitrile, 3'-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]- (CA INDEX NAME)

#### Relative stereochemistry.

817195-02-1P, 3-exo-((Dibenzofuran-2-v1)oxv1-8azabicyclo[3.2.1]octane 939788-34-8P, 3-exo-(3-Phenoxyphenoxy)-8azabicyclo[3.2.1]octane 939788-35-9P, 3-exo-[4-Chloro-3-(4fluorophenoxy)phenoxy]-8-azabicyclo[3.2.1]octane 939788-36-0P, 3-exo-[(5-Chlorobiphenyl-3-yl)oxy]-8-azabicyclo[3.2.1]octane 939788-37-1P, 3-exo-[(5-Bromobiphenyl-3-yl)oxy]-8azabicyclo[3.2.1]octane 939788-38-2P, exo-5-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]biphenyl-3-carbonitrile 939788-39-3P 3-exo-([1,1';3',1'']Terphenyl-5'-yloxy)-8-azabicyclo[3.2.1]octane 939788-40-6P, 3-exo-[(5-Fluorobiphenyl-3-yl)oxy]-8azabicyclo[3.2.1]octane 939788-41-7P, 3-exo-[(6-Fluorobiphenyl-3yl)oxy]-8-azabicyclo[3.2.1]octane 939788-42-8P, 3-exo-[(Biphenyl-3-yl)oxy]-8-azabicyclo[3.2.1]octane 939788-43-9P , 3-exo-[(6-Chlorobiphenyl-3-yl)oxy]-8-azabicyclo[3.2.1]octane 939788-44-0P, 3-exo-(4-Chloro-3-phenoxyphenoxy)-8azabicyclo[3.2.1]octane 939788-45-1P, 3-exo-(2-Chloro-5phenoxyphenoxy)-8-azabicyclo[3.2.1]octane 939788-46-2P, 3-exo-[(4-Bromobiphenv1-3-v1)oxv]-8-azabicvclo[3.2.1]octane 939788-47-3P, 3-exo-[(6-Bromobiphenyl-3-yl)oxy]-8azabicvclo[3.2.1]octane 939788-48-4P, 3-exo-(4-Bromo-3phenoxyphenoxy)-8-azabicyclo[3.2.1]octane 939788-49-5P, exo-5-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]biphenyl-2-carbonitrile 939788-50-8P, 3-exo-(3-Phenethyloxyphenoxy)-8azabicvclo[3.2.1]octane 939788-51-9P, 3-exo-[[3-(Thiophen-2v1)phenv1]oxv1-8-azabicvclo[3.2.1]octane 939788-53-1P, 3-exo-[3-(3-Chlorophenoxy)-4-fluorophenoxy]-8-azabicyclo[3.2.1]octane 939788-54-2P, 3-exo-[3-(3,4-Dichlorophenoxy)-4-fluorophenoxy]-8azabicyclo[3.2.1]octane 939788-55-3P, 3-exo-[3-(4-Chlorophenoxy)-4-fluorophenoxy]-8-azabicyclo[3.2.1]octane 939788-56-4P, 3-exo-[4-Fluoro-3-(3-methoxyphenoxy)phenoxy]-8-azabicyclo[3.2.1]octane 939788-57-5P, exo-3-[5-[(8-Azabicvclo[3.2.1]oct-3-v1)oxv]-2fluorophenoxy]benzonitrile 939788-58-6P, exo-3-[3-[(Pyridin-3yl)oxy]phenoxy]-8-azabicyclo[3.2.1]octane 939788-59-7P, 3-exo-[(6-Phenylpyridin-2-yl)oxy]-8-azabicyclo[3.2.1]octane 939788-60-0P, 3-exo-[(2-Phenylpyridin-4-yl)oxy]-8azabicyclo[3.2.1]octane 939788-61-1P, 3-exo-[(4-Phenylpyridin-2y1)oxy]-8-azabicyclo[3.2.1]octane 939788-62-2P, 3-exo-[[3-(Pyridin-3-y1)phenyl]oxy]-8-azabicyclo[3.2.1]octane 939788-63-3P, exo-1-[3'-[(8-Azabicyclo[3.2.1]oct-3-y1)oxy]biphenyl-4-y1]ethanone 939788-64-4P, 3-exo-[(4'-Trifluoromethylbiphenyl-3-

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vl)oxy]-8-azabicyclo[3.2.1]octane 939788-65-5P,
3-exo-[(4-Chlorobiphenyl-3-yl)oxy]-8-azabicyclo[3.2.1]octane
939788-66-6P, 3-exo-[(2'-Chlorobipheny1-3-y1)oxy]-8-
azabicyclo[3.2.1]octane 939788-67-7P, 3-exo-[(3'-Chlorobiphenyl-
3-y1)oxy]-8-azabicyclo[3.2.1]octane 939788-68-8P,
3-exo-[(4'-Chlorobiphenyl-3-yl)oxy]-8-azabicyclo[3.2.1]octane
939788-69-9P, 3-exo-[(2'-Fluorobiphenyl-3-yl)oxy]-8-
azabicyclo[3.2.1]octane 939788-70-2P, 3-exo-[(4'-Fluorobiphenyl-
3-v1)oxv1-8-azabicvclo[3.2.1]octane 939788-71-3P,
3-exo-[(2'-Trifluoromethylbiphenyl-3-yl)oxyl-8-azabicyclo[3,2,1]octane
939788-72-4P, 3-exo-(2-Fluoro-3-methoxy-5-phenoxyphenoxy)-8-
azabicyclo[3.2.1]octane 939788-73-5P, 3-exo-[[3'
(Trifluoromethoxy)biphenyl-3-yl]oxy]-8-azabicyclo[3.2.1]octane
939788-74-6P, 3-exo-[(2'-Methylbiphenyl-3-yl)oxy]-8-
azabicyclo[3.2.1]octane 939788-75-7P, 3-exo-[(3'-Methylbiphenyl-
3-y1)oxy]-8-azabicyclo[3.2.1]octane 939788-76-8P,
3-exo-(3-Phenoxy-4-trifluoromethylphenoxy)-8-azabicyclo[3.2.1]octane
939788-77-9P, exo-3'-[(8-Azabicyclo[3.2.1]oct-3-y1)oxy]biphenyl-2-
carbonitrile 939788-78-0P, exo-3'-[(8-Azabicyclo[3.2.1]oct-3-
vl)oxy]biphenyl-3-carbonitrile 939788-80-4P,
3-exo-(3-Phenoxy-5-trifluoromethylphenoxy)-8-azabicyclo[3.2.1]octane
939788-81-5P, 3-exo-(4-Methyl-3-phenoxyphenoxy)-8-
azabicvclo[3.2.1]octane 939788-82-6P, 3-exo-(3-Chloro-5-
phenoxyphenoxy)-8-azabicyclo[3,2,1]octane 939788-83-7P.
exo-3-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]-5-phenoxybenzonitrile
939788-84-8P, 3-exo-[(3'-Fluorobiphenyl-3-yl)oxy]-8-
azabicyclo[3.2.1]octane 939788-85-9P, 3-exo-[(3'
Trifluoromethylbiphenyl-3-yl)oxyl-8-azabicyclo[3.2.1]octane
939788-86-0P, 3-exo-[[4'-(Trifluoromethoxy)biphenyl-3-yl]oxy]-8-
azabicyclo[3.2.1]octane 939788-87-1P, 3-exo-[(2'-Methoxybiphenyl-
3-y1)oxy]-8-azabicyclo[3.2.1]octane 939788-88-2P,
3-exo-[(3'-Methoxybiphenyl-3-yl)oxy]-8-azabicyclo[3.2.1]octane
939788-90-6P, 3-exo-[(4'-Methoxybiphenyl-3-yl)oxy]-8-
azabicvclo[3.2.1]octane 939788-93-9P, 3-exo-[(4-Methylbiphenyl-3-
yl)oxy]-8-azabicyclo[3.2.1]octane 939788-94-0P,
exo-3'-[(8-Azabicyclo[3.2.1]oct-3-y1)oxy]-2'-fluorobiphenyl-4-carbonitrile
939788-95-1P, exo-3-[[2'-(Trifluoromethoxy)biphenyl-3-yl]oxy]-8-
azabicyclo[3.2.1]octane 939788-98-4P, 3-exo-[(6-Methylbiphenyl-3-
vl)oxy]-8-azabicyclo[3.2.1]octane 939788-99-5P,
3-exo-[(6-Trifluoromethylbiphenyl-3-yl)oxy]-8-azabicyclo[3.2.1]octane
939789-00-1P, exo-3-[3-Fluoro-5-(pyridin-4-v1)phenoxy]-8-
azabicyclo[3.2.1]octane 939789-01-2P, exo-3-[3-Chloro-5-(pyridin-
4-v1)phenoxv]-8-azabicyclo[3.2.1]octane 939789-02-3P,
exo-3-[4-Chloro-3-[(pyridin-3-yl)oxy]phenoxy]-8-azabicyclo[3.2.1]octane
939789-03-4P, exo-3-[4-Methyl-3-(pyridin-4-yl)phenoxy]-8-
azabicvclo[3.2.1]octane 939789-04-5P, exo-5-[(8-
Azabicyclo[3.2.1]oct-3-v1)oxy[biphenyl-3.4'-dicarbonitrile
939789-05-6P, exo-3'-[(8-Azabicvclo[3.2.1]oct-3-v1)oxv1-5'-
chlorobiphenvl-4-carbonitrile 939789-07-8P, 3-exo-[(4.6-
Diphenylpyridin-2-yl)oxy]-8-azabicyclo[3.2.1]octane 939789-08-9P
, exo-4-[6-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]-4-chloropyridin-2-
yl]benzonitrile 939789-09-0P, exo-4-[2-[(8-Azabicyclo[3.2.1]oct-
3-v1)oxv1-6-chloropyridin-4-v11benzonitrile 939789-10-3P,
3-exo-[[3-(Pvridin-4-vl)phenvl]oxv]-8-azabicvclo[3.2.1]octane
939789-11-4P, exo-4-[6-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]pyridin-2-
yl]benzonitrile 939789-12-5P, exo-2-[4-[(8-Azabicyclo[3.2.1]oct-
3-yl)oxy]-6-chloropyridin-2-yl]benzonitrile 939789-13-6P,
exo-2-[6-[(8-Azabicyclo[3.2.1]oct-3-y1)oxy]-4-chloropyridin-2-
yl]benzonitrile 939789-14-7P, exo-2-[6-[(8-Azabicyclo[3.2.1]oct-
3-yl)oxy]-4-chloropyridin-2-yl]benzamide 939789-15-8P,
exo-2-[2-[(8-Azabicyclo[3.2.1]oct-3-y1)oxy]-6-chloropyridin-4-
yl]benzonitrile 939789-16-9P, exo-2-[6-[(8-Azabicyclo[3.2.1]oct-
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3-yl)oxy]pyridin-2-yl]benzonitrile 939789-17-0P,
exo-4-[2-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]pyridin-4-yl]benzonitrile
939789-18-1P, exo-4-[4-[(8-Azabicyclo[3.2.1]oct-3-y1)oxy]pyridin-2-
yl]benzonitrile 939789-19-2P, exo-3-[(4,5-Difluorobiphenyl-3-
yl)oxy]-8-azabicyclo[3.2.1]octane 939789-20-5P,
exo-3-[(5-Trifluoromethylbiphenyl-3-yl)oxy]-8-azabicyclo[3.2.1]octane
939789-21-6P, exo-2-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]-6-
phenylisonicotinonitrile 939789-22-7P, exo-2-[(8-
Azabicvclo[3.2.1]oct-3-v1)oxv]-6-(4-cvanophenv1)isonicotinonitrile
939789-23-8P, exo-2-[(8-Azabicvclo[3.2.1]oct-3-v1)oxv]-6-(2-
cvanophenvl)isonicotinonitrile 939789-24-9P.
exo-2-[(8-Azabicyclo[3.2.1]oct-3-y1)oxy]-6-(2-
trifluoromethylphenyl)isonicotinonitrile 939789-25-0P,
exo-2-[(8-Azabicyclo[3.2.1]oct-3-y1)oxy]-6-(2-
methoxyphenyl)isonicotinonitrile 939789-26-1P,
exo-2-[6-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]-4-chloropyridin-2-yl]benzoic
acid 939789-27-2P, exo-2-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]-6-(3-
fluorophenyl)isonicotinonitrile 939789-28-3P,
exo-3-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]-5-(3-fluoropyridin-2-
vl)benzonitrile 939789-29-4P, exo-3-[(8-Azabicyclo[3.2.1]oct-3-
v1)oxv1-5-(3-chloropyridin-2-v1)benzonitrile 939789-30-7P,
exo-6-[3-[(8-Azabicyclo[3.2.1]oct-3-v1)oxv]-5-cvanophenvl]nicotinonitrile
939789-31-8P, exo-3-[(8-Azabicvclo[3.2.1]oct-3-vl)oxvl-5-[3-
(trifluoromethyl)pyridin-2-yllbenzonitrile 939789-32-9P.
exo-3-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]-5-(pyridin-2-yl)benzonitrile
939789-33-0P, exo-3-[(8-Azabicvclo[3.2.1]oct-3-v1)oxv]-5-(3,5-
dichloropyridin-2-vl)benzonitrile 939789-34-1P,
exo-3-[(8-Azabicyclo[3.2.1]oct-3-y1)oxy]-5-(3-methoxypyridin-2-
yl)benzonitrile 939789-35-2P, exo-N-[2-[3-[(8-
Azabicyclo[3.2.1]oct-3-yl)oxy]-5-cyanophenyl]pyridin-3-yl]acetamide
939789-36-3P, exo-2-[3-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]-5-
cyanophenyl]nicotinonitrile 939789-37-4P, exo-3-[(8-
Azabicyclo[3.2.1]oct-3-vl)oxv]-5-(pyrimidin-2-vl)benzonitrile
939789-38-5P, exo-3-[(8-Azabicyclo[3.2.1]oct-3-y1)oxy]-5-
(Pyrimidin-5-yl)benzonitrile 939789-39-6P, exo-3-[(8-
Azabicyclo[3.2.1]oct-3-yl)oxy]-5-(isoquinolin-1-yl)benzonitrile
939789-40-9P, 3-exo-[(5-Chloro-6-phenoxypyridin-2-y1)oxy]-8-
azabicyclo[3.2.1]octane 939789-41-0P, 3-exo-[(6-Phenoxypyridin-2-
vl)oxy]-8-azabicyclo[3.2.1]octane 939960-38-0P,
3-endo-(3-Phenoxyphenoxy)-8-azabicyclo[3.2.1]octane 945565-28-6P
, 3-exo-(3-Phenoxyphenoxy)-8-azabicyclo[3.2.1]octane hydrochloride
945565-29-7P, 3-exo-(4-Fluoro-3-phenoxyphenoxy)-8-
azabicyclo[3.2.1]octane trifluoroacetate 945565-30-0P.
3-exo-[(4'-Trifluoromethylbiphenyl-3-yl)oxy]-8-azabicyclo[3.2.1]octane
trifluoroacetate 945565-31-1P, 3-exo-[(5-Phenylpyridin-3-yl)oxy]-
8-azabicyclo[3.2.1]octane 945565-32-2P, 3-exo-[(6-Methylbiphenyl-
3-v1)oxv1-8-azabicvclo[3.2.1]octane trifluoroacetate 945565-33-3P***,
3-exo-[(4-Chloro-6-Phenylpyridin-2-yl)oxy]-8-azabicyclo[3,2,1]octane
                  ***945565-35-5P, exo-2-[(8-Azabicvclo[3,2,1]oct-3-
trifluoroacetate
yl)oxy]-6-phenylisonicotinonitrile trifluoroacetate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (preparation of 8-azabicvclo[3,2,1]octane derivs, as monoamine
   neurotransmitter reuptake inhibitors)
```

RN 817195-02-1 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 3-(2-dibenzofuranyloxy)-, (3-exo)- (CA INDEX NAME)

RN 939788-34-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(3-phenoxyphenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-35-9 CAPLUS

Relative stereochemistry.

RN 939788-36-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(5-chloro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-37-1 CAPLUS

RN 939788-38-2 CAPLUS

CN [1,1'-Biphenyl]-3-carbonitrile, 5-(8-azabicyclo[3.2.1]oct-3-yloxy)- (CA INDEX NAME)

# Relative stereochemistry.

RN 939788-39-3 CAPLUS

### Relative stereochemistry.

RN 939788-40-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(5-fluoro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

## Relative stereochemistry.

RN 939788-41-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-fluoro[1,1'-bipheny1]-3-y1)oxy]- (CA INDEX NAME) Relative stereochemistry.

RN 939788-42-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-([1,1'-biphenyl]-3-yloxy)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-43-9 CAPLUS

Relative stereochemistry.

RN 939788-44-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-chloro-3-phenoxyphenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-45-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(2-chloro-5-phenoxyphenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-46-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4-bromo[1,1'-bipheny1]-3-y1)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-47-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-bromo[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-48-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-bromo-3-phenoxyphenoxy)-, (3-exo)- (CA INDEX NAME)

RN 939788-49-5 CAPLUS

CN [1,1'-Bipheny1]-2-carbonitrile, 5-(8-azabicyclo[3.2.1]oct-3-yloxy)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-50-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(2-phenylethoxy)phenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-51-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(2-thienyl)phenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-53-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(3-chlorophenoxy)-4-fluorophenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-54-2 CAPLUS

Relative stereochemistry.

RN 939788-55-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(4-chlorophenoxy)-4-fluorophenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-56-4 CAPLUS

Relative stereochemistry.

RN 939788-57-5 CAPLUS

CN Benzonitrile, 3-[5-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-2fluorophenoxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-58-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(3-pyridinyloxy)phenoxy]-, (3-exo)- (CA INDEX NAME)

RN 939788-59-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-phenyl-2-pyridinyl)oxy]- (CA INDEX NAME)
Relative stereochemistry.



RN 939788-60-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(2-phenyl-4-pyridinyl)oxy]- (CA INDEX NAME) Relative stereochemistry.



RN 939788-61-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4-phenyl-2-pyridinyl)oxy]- (CA INDEX NAME) Relative stereochemistry.

RN 939788-62-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(3-pyridinyl)phenoxy]- (CA INDEX NAME)

RN 939788-63-3 CAPLUS

CN Ethanone, 1-[3'-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy][1,1'-biphenyl]-4yl]- (CA INDEX NAME)

# Relative stereochemistry.

RN 939788-64-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[4'-(trifluoromethyl)[1,1'-biphenyl]-3yl]oxy]- (CA INDEX NAME)

# Relative stereochemistry.

RN 939788-65-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4-chloro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

## Relative stereochemistry.

RN 939788-66-6 CAPLUS

 $\begin{tabular}{ll} CN & $-$Azabicyclo[3.2.1]octane, & $3-[(2'-chloro[1,1'-bipheny1]-3-y1)oxy]-$ & (CAll of the context of$ 

#### INDEX NAME)

# Relative stereochemistry.

- RN 939788-67-7 CAPLUS
- CN 8-Azabicyclo[3.2.1]octane, 3-[(3'-chloro[1,1'-bipheny1]-3-y1)oxy]- (CA INDEX NAME)

## Relative stereochemistry.

- RN 939788-68-8 CAPLUS
- CN 8-Azabicyclo[3.2.1]octane, 3-[(4'-chloro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

# Relative stereochemistry.

- RN 939788-69-9 CAPLUS
- CN 8-Azabicyclo[3.2.1]octane, 3-[(2'-fluoro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

RN 939788-70-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4'-fluoro[1,1'-bipheny1]-3-y1)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-71-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[2'-(trifluoromethyl)[1,1'-biphenyl]-3yl]oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-72-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(2-fluoro-3-methoxy-5-phenoxyphenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-73-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[3'-(trifluoromethoxy)[1,1'-biphenyl]-3yl]oxy]- (CA INDEX NAME)

RN 939788-74-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(2'-methyl[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

# Relative stereochemistry.

RN 939788-75-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(3'-methyl[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

## Relative stereochemistry.

RN 939788-76-8 CAPLUS

## Relative stereochemistry.

RN 939788-77-9 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 3'-(8-azabicyclo[3.2.1]oct-3-yloxy)- (CA INDEX NAME) Relative stereochemistry.

RN 939788-78-0 CAPLUS

CN [1,1'-Biphenyl]-3-carbonitrile, 3'-[(3-exo)-8-azabicyclo[3.2.1]oct-3yloxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-80-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-phenoxy-5-(trifluoromethyl)phenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-81-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-methyl-3-phenoxyphenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-82-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(3-chloro-5-phenoxyphenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-83-7 CAPLUS

CN Benzonitrile, 3-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-5-phenoxy- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-84-8 CAPLUS

Relative stereochemistry.

RN 939788-85-9 CAPLUS

CN 8-Azabicyclo[3,2.1]octane, 3-[[3'-(trifluoromethyl)[1,1'-biphenyl]-3yl]oxy]- (CA INDEX NAME)

RN 939788-86-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[4'-(trifluoromethoxy)[1,1'-bipheny1]-3yl]oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-87-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(2'-methoxy[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-88-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(3'-methoxy[1,1'-biphenyl]-3-yl)oxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-90-6 CAPLUS

RN 939788-93-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4-methyl[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-94-0 CAPLUS

CN [1,1'-Biphenyl]-4-carbonitrile, 3'-(8-azabicyclo[3.2.1]oct-3-yloxy)-2'fluoro- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-95-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[2'-(trifluoromethoxy)[1,1'-biphenyl]-3yl]oxy]- (CA INDEX NAME)

- RN 939788-98-4 CAPLUS
- $\label{eq:cn_sol} \texttt{CN} \qquad 8-\texttt{Azabicyclo[3.2.1]octane, 3-[(6-methyl[1,1'-biphenyl]-3-yl)oxy]- (CA)} \\$

#### INDEX NAME)

Relative stereochemistry.

RN 939788-99-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[6-(trifluoromethyl)[1,1'-biphenyl]-3yl]oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-00-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-fluoro-5-(4-pyridinyl)phenoxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-01-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-chloro-5-(4-pyridinyl)phenoxy]- (CA INDEX NAME)

RN 939789-02-3 CAPLUS

Relative stereochemistry.

RN 939789-03-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[4-methyl-3-(4-pyridinyl)phenoxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-04-5 CAPLUS

Relative stereochemistry.

RN

CN [1,1'-Biphenyl]-4-carbonitrile, 3'-(8-azabicyclo[3.2.1]oct-3-yloxy)-5'chloro- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-07-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4,6-diphenyl-2-pyridinyl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-08-9 CAPLUS

CN Benzonitrile, 4-[6-(8-azabicyclo[3.2.1]oct-3-yloxy)-4-chloro-2-pyridinyl](CA INDEX NAME)

Relative stereochemistry.

RN 939789-09-0 CAPLUS

RN 939789-10-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(4-pyridinyl)phenoxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-11-4 CAPLUS

CN Benzonitrile, 4-[6-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-2-pyridinyl](CA INDEX NAME)

Relative stereochemistry.

RN 939789-12-5 CAPLUS

CN Benzonitrile, 2-[4-(8-azabicyclo[3.2.1]oct-3-yloxy)-6-chloro-2-pyridinyl](CA INDEX NAME)

RN 939789-13-6 CAPLUS

Relative stereochemistry.

RN 939789-14-7 CAPLUS

CN Benzamide, 2-[6-(8-azabicyclo[3.2.1]oct-3-yloxy)-4-chloro-2-pyridinyl]-(CA INDEX NAME)

Relative stereochemistry.

RN 939789-15-8 CAPLUS

CN Benzonitrile, 2-[2-(8-azabicyclo[3.2.1]oct-3-yloxy)-6-chloro-4-pyridinyl](CA INDEX NAME)

RN 939789-16-9 CAPLUS

CN Benzonitrile, 2-[6-(8-azabicyclo[3.2.1]oct-3-yloxy)-2-pyridinyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-17-0 CAPLUS

Relative stereochemistry.

RN 939789-18-1 CAPLUS

RN 939789-19-2 CAPLUS CN 8-Azabicyclo[3.2.1]octane, 3-[(4,5-difluoro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-20-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[5-(trifluoromethyl)[1,1'-biphenyl]-3yl]oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-21-6 CAPLUS

CN 4-Pyridinecarbonitrile, 2-(8-azabicyclo[3.2.1]oct-3-yloxy)-6-phenyl- (CA INDEX NAME)

RN 939789-22-7 CAPLUS

CN 4-Pyridinecarbonitrile, 2-(8-azabicyclo[3.2.1]oct-3-yloxy)-6-(4-cyanophenyl)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-23-8 CAPLUS

CN 4-Pyridinecarbonitrile, 2-(8-azabicyclo[3.2.1]oct-3-yloxy)-6-(2-cyanophenyl)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-24-9 CAPLUS

CN 4-Pyridinecarbonitrile, 2-(8-azabicyclo[3.2.1]oct-3-yloxy)-6-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 939789-25-0 CAPLUS

CN 4-Pyridinecarbonitrile, 2-(8-azabicyclo[3.2.1]oct-3-yloxy)-6-(2-methoxyphenyl)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-26-1 CAPLUS

Relative stereochemistry.

RN 939789-27-2 CAPLUS

CN 4-Pyridinecarbonitrile, 2-(8-azabicyclo[3.2.1]oct-3-yloxy)-6-(3-fluorophenyl)- (CA INDEX NAME)

RN 939789-28-3 CAPLUS

Relative stereochemistry.

RN 939789-29-4 CAPLUS

Relative stereochemistry.

RN 939789-30-7 CAPLUS

CN 3-Pyridinecarbonitrile, 6-[3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5cyanophenyl]- (CA INDEX NAME)

RN 939789-31-8 CAPLUS

CN Benzonitrile, 3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-32-9 CAPLUS

CN Benzonitrile, 3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-(2-pyridinyl)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-33-0 CAPLUS

CN Benzonitrile, 3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-(3,5-dichloro-2-pyridinyl)- (CA INDEX NAME)

RN 939789-34-1 CAPLUS

CN Benzonitrile, 3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-(3-methoxy-2-pyridiny1)(CA INDEX NAME)

Relative stereochemistry.

RN 939789-35-2 CAPLUS

CN Acetamide, N-[2-[3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-cyanophenyl]-3pyridinyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-36-3 CAPLUS

CN 3-Pyridinecarbonitrile, 2-[3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5cyanophenyl]- (CA INDEX NAME)

RN 939789-37-4 CAPLUS

CN Benzonitrile, 3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-(2-pyrimidinyl)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-38-5 CAPLUS

CN Benzonitrile, 3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-(5-pyrimidinyl)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-39-6 CAPLUS

CN Benzonitrile, 3-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-5-(1isoquinolinyl)- (CA INDEX NAME)

RN 939789-40-9 CAPLUS

Relative stereochemistry.

RN 939789-41-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-phenoxy-2-pyridinyl)oxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939960-38-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(3-phenoxyphenoxy)-, (3-endo)- (CA INDEX NAME)

Relative stereochemistry.

RN 945565-28-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(3-phenoxyphenoxy)-, hydrochloride (1:1), (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 945565-29-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-fluoro-3-phenoxyphenoxy)-, (3-exo)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM

CRN 939788-52-0 CMF C19 H20 F N O2

Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 945565-30-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]oxy]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 939788-64-4 CMF C20 H20 F3 N O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 945565-31-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(5-phenyl-3-pyridinyl)oxy]- (CA INDEX NAME)

RN 945565-32-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-methyl[1,1'-biphenyl]-3-yl)oxy]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 939788-98-4

CMF C20 H23 N O

Relative stereochemistry.

CM

```
CRN 76-05-1
    CMF C2 H F3 O2
F-C-CO2H
   945565-33-3 CAPLUS
   8-Azabicyclo[3.2.1]octane, 3-[(4-chloro-6-phenyl-2-pyridinyl)oxy]-,
    2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)
    CM
    CRN 939789-06-7
     CMF C18 H19 C1 N2 O
Relative stereochemistry.
               Ρh
   NH
    CM
         2
    CRN 76-05-1
    CMF C2 H F3 O2
F-C-C02H
  Ė
RN 945565-35-5 CAPLUS
CN 4-Pyridinecarbonitrile, 2-[2-(8-azabicyclo[3.2.1]oct-3-yloxy)phenyl]-,
     2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)
    CM 1
    CRN 945565-34-4
    CMF C19 H19 N3 O
```

CN

CM 2 CRN 76-05-1 CMF C2 H F3 O2

F

L4 ANSWER 6 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:761334 CAPLUS

DOCUMENT NUMBER: 147:166196

TITLE: Bicyclic nitrogen compounds as modulators of ghrelin

receptor and their preparation, pharmaceutical compositions and use in the treatment of diseases Burstein, Ethan; Eeg Knapp, Anne; Olsson, Roger;

Eskildsen, Jorgen; Ek, Fredrik

PATENT ASSIGNEE(S): Acadia Pharmaceuticals Inc., USA

SOURCE: PCT Int. Appl., 481pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent.

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FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

OTHER SOURCE(S):

INVENTOR(S):

	PAT	ENT :	NO.			KIND		DATE			APPLICATION NO.						DATE			
	WO	2007079239 2007079239				A2	_	20070712 20071101			WO 2	006-	20061229							
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		W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
			GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,		
			KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,		
			MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,		
			RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	TJ,	TM,	TN,	TR,	TT,		
			TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW								
		RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,		
			IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	BJ,		
			CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,		
			GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,		
			KG,	KZ,	MD,	RU,	TJ,	TM,	AP,	EA,	EP,	OA								
US 2007213359							A1 20070913				US 2006-618724						20061229			
PRIORITY APPLN. INFO.:											US 2005-755714P					P 20051230				
											US 2	006-	8352	41P		P 2	0060	802		

MARPAT 147:166196

Disclosed herein are compds. of formula I as defined herein, or a ΔR pharmaceutically acceptable salt, ester, amide, or prodrug thereof, that modulates the activity of a ghrelin receptor. Disclosed herein are also methods of treating diseases or conditions that comprise administering to a subject in need thereof a therapeutically effective amount of a compound of formula I. Compds. of formula I wherein A is H, halo, CN, (un) substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted (hetero)aryl, etc.; B is H, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted (hetero)aryl, etc.; Y is CR3 and N R2 and R2a are independently H, CN, (un)substituted alkyl, (un) substituted alkenyl, (un) substituted alkynyl, (un) substituted (hetero)aryl, etc; R3, R3a, R3b, and R3c are independently H, halo, CN, NO2, (un) substituted alkyl, (un) substituted alkenyl, (un) substituted alkynyl, (un)substituted (hetero)aryl, etc.; L is (un)substituted alkylene; L can be taken together with R3 to form a cycloalkyl, cycloalkenyl, cycloalkynyl and heteroalicyclyl; and their solvates, polymorphs, metabolites, pharmaceutically acceptable salts and prodrugs thereof, are claimed. Example compound II was prepared by amination of 1-[1-(3-chlorophenoxy)-7-methoxy-1H-indol-3-y1]ethanone with

<sup>\*</sup> STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

 $4-(4-{\rm fluorophenoxy})$  piperidine hydrochloride; the resulting compound II was added oxalic acid to give the corresponding salt. All the invention compds. were evaluated for their ghrelin receptor modulatory activity (some data given).

652148-02-2P 845291-48-7P 944086-54-8P

RL: CRT (Combinatorial reactant); RCT (Reactant); SPN (Synthetic preparation); CMBI (Combinatorial study); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of bicyclic nitrogen compds. as modulators of ghrelin receptors for treating various diseases)

RN 652148-02-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-fluorophenoxy)-, (3-endo)- (CA INDEX NAME)

Relative stereochemistry.

RN 845291-48-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-chlorophenoxy)-, (3-endo)- (CA INDEX NAME)

Relative stereochemistry.

RN 944086-54-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(2-chlorophenoxy)-, (3-endo)- (CA INDEX NAME)

Relative stereochemistry.

IT 944086-73-1

RL: CRT (Combinatorial reactant); RCT (Reactant); CMBI (Combinatorial study); RACT (Reactant or reagent)

(starting material; preparation of bicyclic nitrogen compds. as modulators of ghrelin receptors for treating various diseases)

RN 944086-73-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-chlorophenoxy)- (CA INDEX NAME)

L4 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:619810 CAPLUS

DOCUMENT NUMBER: 147:53047

TITLE: Preparation of 8-azabicvclo[3.2.1]octane derivatives

useful as mono-amine reuptake inhibitors

INVENTOR(S): Napier, Susan Elizabeth; Bingham, Matilda Jane;

Dunbar, Neil Andrew PATENT ASSIGNEE(S): N.V. Organon, Neth. SOURCE: PCT Int. Appl., 68pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

1	PATENT NO.						D	DATE			APPLICATION NO.						DATE		
1			07063071			A1	A1		20070607			20061129							
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH	
			CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD	
			GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN	
			KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK	
			MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO	
			RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ТJ,	TM,	TN,	TR,	TT	
			TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ZA,	ZM,	zw							
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE	
			IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ	
			CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH	
			GM,	KE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY	
			KG,	ΚZ,	MD,	RU,	ΤJ,	TM											
DR.	RITY APPLN. INFO.:						EP 2005-111578									A 2	0051	201	
IER	ER SOURCE(S):						PAT	147:	5304	7									

PRI OTE GI

AB The present invention relates to a 8-azabicyclo[3.2.1]octane derivs. I, wherein R1 is H or C1-5-alkvl; Y is O, S, O(CH2)m; m is 1 or 2; n is 0 or 1; Arl is phenylene or pyridylene, said phenylene and pyridylene being 1,3-linked with respect to O and when n is 1 with Y and when n is 0 with Ar2, said phenylene or pyridylene being optionally substituted with one or two substituents independently selected from halogen, C1-5-alkyl, C1-5-alkoxy, C3-6-cycloalkyl, C2-5-alkenyl, C2-5-alkynyl, Ph, CN and hydroxy, wherein said C1-5-alkyl and C1-5-alkoxy are optionally substituted with one to three halogens and wherein the oxygen of said hydroxy is optionally bonded to Ar2 to form a 5-membered ring; Ar2 is Ph or a 5-6 membered heteroaryl, said Ph or 5-6 membered heteroaryl being optionally substituted with one to three substituents independently selected from halogen, C1-5-alkyl, C1-5-alkoxy, CN, CONR2R3, CO2R4, NHCOR5 and hydroxy, wherein said C1-5-alkyl and C1-5-alkoxy are optionally substituted with one to three halogens and wherein the oxygen of said hydroxy is optionally bonded to Ar1 to form a 5-membered ring; R2-R4 are independently H or C1-5-alkyl and R5 is C1-5-alkyl, or a pharmaceutically acceptable salt or solvate thereof for the treatment or prevention of

depression or pain. The present invention are useful for the manufacture of a medicament for the treatment or prevention of a disease or disorder of the nervous system, both centrally and peripherally which is responsive to monoamine neurotransmission reuptake. Thus, exo-3-(3-chloro-5phenoxyphenoxy)-8-azabicyclo[3.2.1]octane was prepared and tested in vitro as mono-amine reuptake inhibitors. The in vitro test for the inhibition of dopamine and serotonin uptake was performed in Chinese Hamster Ovary cells expressing the human dopamine transporter (hDAT) or the human serotonin transporter (hSERT). The in vitro test for the inhibition of noradrenaline uptake was performed in Madin Darby Canine Kidney Cells (MDCK) expressing the human noradrenaline transporter (hNET).

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817195-02-1P 939788-34-8P 939788-35-9P
939788-36-0P 939788-37-1P 939788-38-2P
939788-39-3P 939788-40-6P 939788-41-7P
939788-42-8P 939788-43-9P 939788-44-0P
939788-45-1P 939788-46-2P 939788-47-3P
939788-48-4P 939788-49-5P 939788-50-8P
939788-51-9P 939788-52-0P 939788-53-1P
939788-54-2P 939788-55-3P 939788-56-4P
939788-57-5P 939788-58-6P 939788-59-7P
939788-60-0P 939788-61-1P 939788-62-2P
939788-63-3P 939788-64-4P 939788-65-5P
939788-66-6P 939788-67-7P 939788-68-8P
939788-69-9P 939788-70-2P 939788-71-3P
939788-72-4P 939788-73-5P 939788-74-6P
939788-75-7P 939788-76-8P 939788-77-9P
939788-78-0P 939788-79-1P 939788-80-4P
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939788-84-8P 939788-85-9P 939788-86-0P
939788-87-1P 939788-88-2P 939788-90-6P
939788-91-7P 939788-92-8P 939788-93-9P
939788-94-0P 939788-95-1P 939788-98-4P
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939789-20-5P 939789-21-6P 939789-22-7P
939789-23-8P 939789-24-9P 939789-25-0P
939789-26-1P 939789-27-2P 939789-28-3P
939789-29-4P 939789-30-7P 939789-31-8P
939789-32-9P 939789-33-0P 939789-34-1P
939789-35-2P 939789-36-3P 939789-37-4P
939789-38-5P 939789-39-6P 939789-40-9P
939789-41-0P 939960-38-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (preparation of 8-azabicyclo[3.2.1]octane derivs. useful as mono-amine
   reuptake inhibitors)
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817195-02-1 CAPLUS RN CN 8-Azabicyclo[3,2,1]octane, 3-(2-dibenzofuranyloxy)-, (3-exo)- (CA INDEX

NAME)

RN 939788-34-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(3-phenoxyphenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-35-9 CAPLUS

Relative stereochemistry.

RN 939788-36-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(5-chloro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-37-1 CAPLUS

RN 939788-38-2 CAPLUS

CN [1,1'-Biphenyl]-3-carbonitrile, 5-(8-azabicyclo[3.2.1]oct-3-yloxy)- (CA INDEX NAME)

# Relative stereochemistry.

RN 939788-39-3 CAPLUS

#### Relative stereochemistry.

RN 939788-40-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(5-fluoro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

## Relative stereochemistry.

RN 939788-41-7 CAPLUS

Relative stereochemistry.

RN 939788-42-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-([1,1'-biphenyl]-3-yloxy)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-43-9 CAPLUS

Relative stereochemistry.

RN 939788-44-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-chloro-3-phenoxyphenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-45-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(2-chloro-5-phenoxyphenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-46-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4-bromo[1,1'-bipheny1]-3-y1)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-47-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-bromo[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-48-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-bromo-3-phenoxyphenoxy)-, (3-exo)- (CA INDEX NAME)

RN 939788-49-5 CAPLUS

CN [1,1'-Bipheny1]-2-carbonitrile, 5-(8-azabicyclo[3.2.1]oct-3-yloxy)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-50-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(2-phenylethoxy)phenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-51-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(2-thienyl)phenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-52-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-fluoro-3-phenoxyphenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-53-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(3-chlorophenoxy)-4-fluorophenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-54-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(3,4-dichlorophenoxy)-4-fluorophenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-55-3 CAPLUS

Relative stereochemistry.

RN 939788-56-4 CAPLUS

Relative stereochemistry.

RN 939788-57-5 CAPLUS

CN Benzonitrile, 3-[5-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-2fluorophenoxy]- (CA INDEX NAME)

RN 939788-58-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(3-pyridinyloxy)phenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-59-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-phenyl-2-pyridinyl)oxy]- (CA INDEX NAME) Relative stereochemistry.

RN 939788-60-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(2-phenyl-4-pyridinyl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-61-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4-phenyl-2-pyridinyl)oxy]- (CA INDEX NAME)

RN 939788-62-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(3-pyridinyl)phenoxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-63-3 CAPLUS

CN Ethanone, 1-[3'-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy][1,1'-biphenyl]-4yl]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-64-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[4'-(trifluoromethyl)[1,1'-biphenyl]-3yl]oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-65-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4-chloro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

RN 939788-66-6 CAPLUS

## Relative stereochemistry.

RN 939788-67-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(3'-chloro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

### Relative stereochemistry.

RN 939788-68-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4'-chloro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

## Relative stereochemistry.

RN 939788-69-9 CAPLUS

Relative stereochemistry.

RN 939788-70-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4'-fluoro[1,1'-bipheny1]-3-y1)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-71-3 CAPLUS

CN 8-Azabicyclo[3,2.1]octane, 3-[[2'-(trifluoromethyl)[1,1'-biphenyl]-3yl]oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-72-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(2-fluoro-3-methoxy-5-phenoxyphenoxy)-, (3-exo)- (CA INDEX NAME)

RN 939788-73-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[3'-(trifluoromethoxy)[1,1'-bipheny1]-3y1]oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-74-6 CAPLUS

Relative stereochemistry.

RN 939788-75-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(3'-methyl[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-76-8 CAPLUS

RN 939788-77-9 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 3'-(8-azabicyclo[3.2.1]oct-3-yloxy)- (CA INDEX NAME)

# Relative stereochemistry.

RN 939788-78-0 CAPLUS

CN [1,1'-Biphenyl]-3-carbonitrile, 3'-[(3-exo)-8-azabicyclo[3.2.1]oct-3yloxy]- (CA INDEX NAME)

### Relative stereochemistry.

RN 939788-79-1 CAPLUS

CN [1,1'-Biphenyl]-4-carbonitrile, 3'-[(3-exo)-8-azabicyclo[3.2.1]oct-3yloxy]- (CA INDEX NAME)

### Relative stereochemistry.

RN 939788-80-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-phenoxy-5-(trifluoromethyl)phenoxy]-, (3-exo)- (CA INDEX NAME) Relative stereochemistry.

RN 939788-81-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-methyl-3-phenoxyphenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-82-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(3-chloro-5-phenoxyphenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-83-7 CAPLUS

CN Benzonitrile, 3-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-5-phenoxy- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-84-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(3'-fluoro[1,1'-bipheny1]-3-y1)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-85-9 CAPLUS

CN 8-Azabicyclo[3,2.1]octane, 3-[[3'-(trifluoromethyl)[1,1'-biphenyl]-3yl]oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-86-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[4'-(trifluoromethoxy)[1,1'-biphenyl]-3yl]oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-87-1 CAPLUS

RN 939788-88-2 CAPLUS

Relative stereochemistry.

RN 939788-90-6 CAPLUS

Relative stereochemistry.

RN 939788-91-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(5-phenyl-3-pyridinyl)oxy]-, exo- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-92-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(2-fluoro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

RN 939788-93-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4-methyl[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

# Relative stereochemistry.

RN 939788-94-0 CAPLUS

CN [1,1'-Biphenyl]-4-carbonitrile, 3'-(8-azabicyclo[3.2.1]oct-3-yloxy)-2'fluoro- (CA INDEX NAME)

### Relative stereochemistry.

RN 939788-95-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[2'-(trifluoromethoxy)[1,1'-biphenyl]-3yl]oxy]- (CA INDEX NAME)

### Relative stereochemistry.

RN 939788-98-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-methyl[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME) Relative stereochemistry.

RN 939788-99-5 CAPLUS

N 8-Azabicyclo[3.2.1]octane, 3-[[6-(trifluoromethyl)[1,1'-biphenyl]-3yl]oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-00-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-fluoro-5-(4-pyridinyl)phenoxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-01-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-chloro-5-(4-pyridinyl)phenoxy]- (CA INDEX NAME)

RN 939789-02-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[4-chloro-3-(3-pyridinyloxy)phenoxy]-,
 (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-03-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[4-methyl-3-(4-pyridinyl)phenoxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-04-5 CAPLUS

Relative stereochemistry.

RN

CN [1,1'-Biphenyl]-4-carbonitrile, 3'-(8-azabicyclo[3.2.1]oct-3-yloxy)-5'chloro- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-06-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4-chloro-6-phenyl-2-pyridinyl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-07-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4,6-diphenyl-2-pyridinyl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-08-9 CAPLUS

RN 939789-09-0 CAPLUS
CN Benzonitrile, 4-[2-(8-azabicyclo[3.2.1]oct-3-yloxy)-6-chloro-4-pyridinyl](CA INDEX NAME)

Relative stereochemistry.

RN 939789-10-3 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 3-[3-(4-pyridinyl)phenoxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-11-4 CAPLUS

RN 939789-12-5 CAPLUS

# Relative stereochemistry.

RN 939789-13-6 CAPLUS

### Relative stereochemistry.

RN 939789-14-7 CAPLUS

CN Benzamide, 2-[6-(8-azabicyclo[3.2.1]oct-3-yloxy)-4-chloro-2-pyridinyl]-(CA INDEX NAME)

RN 939789-15-8 CAPLUS

Relative stereochemistry.

RN 939789-16-9 CAPLUS

CN Benzonitrile, 2-[6-(8-azabicyclo[3.2.1]oct-3-yloxy)-2-pyridinyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-17-0 CAPLUS

RN 939789-18-1 CAPLUS

CN Benzonitrile, 4-[4-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-2-pyridinyl](CA INDEX NAME)

Relative stereochemistry.

RN 939789-19-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4,5-difluoro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-20-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[5-(trifluoromethyl)[1,1'-biphenyl]-3yl]oxy]- (CA INDEX NAME)

RN 939789-21-6 CAPLUS

CN 4-Pyridinecarbonitrile, 2-(8-azabicyclo[3.2.1]oct-3-yloxy)-6-phenyl- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-22-7 CAPLUS

CN 4-Pyridinecarbonitrile, 2-(8-azabicyclo[3.2.1]oct-3-yloxy)-6-(4cyanophenyl)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-23-8 CAPLUS

CN 4-Pyridinecarbonitrile, 2-(8-azabicyclo[3.2.1]oct-3-yloxy)-6-(2cyanophenyl)- (CA INDEX NAME)

RN 939789-24-9 CAPLUS

CN 4-Pyridinecarbonitrile, 2-(8-azabicyclo[3.2.1]oct-3-yloxy)-6-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-25-0 CAPLUS

CN 4-Pyridinecarbonitrile, 2-(8-azabicyclo[3.2.1]oct-3-yloxy)-6-(2-methoxyphenyl)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-26-1 CAPLUS

CN Benzoic acid, 2-[6-(8-azabicyclo[3.2.1]oct-3-yloxy)-4-chloro-2-pyridinyl](CA INDEX NAME)

RN 939789-27-2 CAPLUS

CN 4-Pyridinecarbonitrile, 2-(8-azabicyclo[3.2.1]oct-3-yloxy)-6-(3-fluorophenyl)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-28-3 CAPLUS

CN Benzonitrile, 3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-(3-fluoro-2-pyridinyl)-(CA INDEX NAME)

Relative stereochemistry.

RN 939789-29-4 CAPLUS

RN 939789-30-7 CAPLUS

CN 3-Pyridinecarbonitrile, 6-[3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5cyanophenyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-31-8 CAPLUS

CN Benzonitrile, 3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-32-9 CAPLUS

CN Benzonitrile, 3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-(2-pyridinyl)- (CA INDEX NAME)

RN 939789-33-0 CAPLUS

CN Benzonitrile, 3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-(3,5-dichloro-2-pyridinyl)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-34-1 CAPLUS

CN Benzonitrile, 3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-(3-methoxy-2-pyridinyl)(CA INDEX NAME)

Relative stereochemistry.

RN 939789-35-2 CAPLUS

CN Acetamide, N-[2-[3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-cyanophenyl]-3pyridinyl]- (CA INDEX NAME)

RN 939789-36-3 CAPLUS

CN 3-Pyridinecarbonitrile, 2-[3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5cyanophenyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-37-4 CAPLUS

CN Benzonitrile, 3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-(2-pyrimidinyl)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-38-5 CAPLUS

CN Benzonitrile, 3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-(5-pyrimidinyl)- (CA INDEX NAME)

RN 939789-39-6 CAPLUS

CN Benzonitrile, 3-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-5-(1isoquinolinyl)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-40-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(5-chloro-6-phenoxy-2-pyridinyl)oxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-41-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-phenoxy-2-pyridinyl)oxy]-, (3-exo)- (CA INDEX NAME)

RN 939960-38-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(3-phenoxyphenoxy)-, (3-endo)- (CA INDEX NAME)

Relative stereochemistry.

3

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:538411 CAPLUS

DOCUMENT NUMBER: 146:514790

TITLE: 8-azabicvclo[3.2.1]octane derivatives and their use as monoamine neurotransmitter reuptake inhibitors

INVENTOR(S): Peters, Dan; Eriksen, Birgitte L.; Nielsen, Elsebet Oestergaard; Redrobe, John Paul; Olsen, Gunnar M.

PATENT ASSIGNEE(S): Neurosearch A/S, Den. SOURCE: PCT Int. Appl., 22pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.						KIND DATE				ICAT	ION	DATE				
WO :	WO 2007054531				A1 20070518				WO 2	006-	EP68.	20061109					
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,
		KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,
		MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,
		RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,
		TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW						
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
		IS,	ΙT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	BJ,
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	ΚZ,	MD,	RU,	ΤJ,	TM										
PRIORITY	. :					DK 2005-1565					A 20051111						
							US 2	005-	-736330P			P 20051115					

OTHER SOURCE(S): MARPAT 146:514790

The invention discloses 8-aza-bicyclo[3.2.1]octane derivs. useful as AR monoamine neurotransmitter reuptake inhibitors. The invention also discloses the use of these compds. in a method for therapy, as well as pharmaceutical compns. comprising these compds. Compound preparation is included.

936701-50-7 936701-50-7D, isomers and salts 936701-51-8 936701-51-8D, isomers and salts 936701-52-9 936701-52-9D, isomers and salts

936701-53-0 936701-53-0D, isomers and salts

936701-54-1 936701-54-1D, isomers and salts

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(azabicyclooctane derivative monoamine neurotransmitter reuptake inhibitors)

936701-50-7 CAPLUS RN

CM 8-Azabicyclo[3.2.1]octane, 3-[(6-methoxy-2-naphthaleny1)oxy]-, (3-exo)-(CA INDEX NAME)

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-methoxy-2-naphthalenyl)oxy]-, (3-exo)-(CA INDEX NAME)

Relative stereochemistry.

RN 936701-51-8 CAPLUS

CN 2-Naphthalenol, 6-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 936701-51-8 CAPLUS

CN 2-Naphthalenol, 6-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 936701-52-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-ethoxy-2-naphthalenyl)oxy]-, (3-exo)-(CA INDEX NAME)

Relative stereochemistry.

RN 936701-52-9 CAPLUS

RN 936701-53-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[6-(1-methylethoxy)-2-naphthalenyl]oxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 936701-53-0 CAPLUS

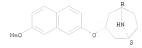
Relative stereochemistry.

RN 936701-54-1 CAPLUS CN 8-Azabicyclo[3.2.1]

8-Azabicyclo[3.2.1]octane, 3-[(7-methoxy-2-naphthalenyl)oxy]-, (3-exo)-(CA INDEX NAME)

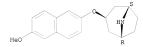
Relative stereochemistry.

RN 936701-54-1 CAPLUS



- IT 936701-59-6P 936701-60-9P 936701-61-0P
  - 936701-62-1P 936701-63-2P
    - RL: SPN (Synthetic preparation); PREP (Preparation) (azabicyclooctane derivative monoamine neurotransmitter reuptake inhibitors)
- RN 936701-59-6 CAPLUS
- CN 8-Azabicyclo[3.2.1]octane, 3-[(6-methoxy-2-naphthalenyl)oxy]-, hydrochloride (1:1), (3-exo)- (CA INDEX NAME)

Relative stereochemistry.



# HC1

- RN 936701-60-9 CAPLUS
- CN 2-Naphthalenol, 6-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-, hydrochloride (1:1) (CA INDEX NAME)

Relative stereochemistry.

## HC1

- RN 936701-61-0 CAPLUS
- CN 8-Azabicyclo[3.2.1]octane, 3-[(6-ethoxy-2-naphthalenyl)oxy]-, hydrochloride (1:1), (3-exo)- (CA INDEX NAME)

## ● HCl

RN 936701-62-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[6-(1-methylethoxy)-2-naphthalenyl]oxy]-, hydrochloride (1:1), (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

### HC1

RN 936701-63-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(7-methoxy-2-naphthalenyl)oxy]-,
hydrochloride (1:1), (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

### ● HCl

REFERENCE COUNT:

2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L4 ANSWER 9 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:405401 CAPLUS

DOCUMENT NUMBER: 146:421857

TITLE: Preparation of bridged cyclic amine compounds as pest

control agents

INVENTOR(S): Hamamoto, Isami; Takahashi, Jun; Yano, Makio; Kawaguchi, Masahiro; Hanai, Daisuke; Iwasa, Takao

PATENT ASSIGNEE(S): Nippon Soda Co., Ltd., Japan

SOURCE: PCT Int. Appl., 98pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT	NO.	KIN	D	DATE	DATE APPLICATION NO.							DATE					
	WO 200	WO 2007040282					20070412			WO 2006-J			rP320133			20061006		
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		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ	, EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HN,	HR,	HU,	ID,	IL,	IN	, IS,	JP,	KE,	KG,	KM,	KN,	KΡ,	
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								SD,	SL,	SZ	, TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,	
			KZ,		RU,	ТJ,	TM											
	PRIORITY A					JP 2005-294126				A 20051006								
											JP 2005-294127 JP 2005-297803					0051		
																0051		
											2005-					0051		
											2006-					0060		
	ORUMN COUNT							JP	2006-	1823	14		A 2	0060	630			
	OTHER SOURCE	E(S):	MAK	PAT	146:	42183	5/											

OTHER SOURCE(S): MARPAT 146:421857

GI

- AB Title compds. I [Cyl = (un)substituted aromatic ring; X = oxygen, sulfur, (un)substituted nitrogen, etc.; Rla and R2a, Rla and R4a, R2a and R3a, or R3a and R4a may combine to form a saturated ring; Rla-R4a, Rlb-R4b and R5 = H, hydroxy, halo, etc.; Cy2 = (un)substituted aromatic ring; when Rla and R2a may combine to form saturated ring and Cyl is a (un)substituted Ph And Cy2 is a pyridin-2-yl, Cy2 is a pyridin-2-yl substituted with one or more cyano groups.), salts or N-oxides thereof were prepared For example, reaction of tropine with 2-chloro-5-trifluoromethylpyridine followed by treatment with 2,2,2-trichloroethyl chloroformate, reduction using Zn/acetic acid and O-arylation with 2-fluoro-5-trifluoromethylbergledyde afforded compound II [R = CH0; R' = CF3]. Compound II [R = CH02R2CH2CH3; R' = CF3] controlled two-spotted spider mite by 100%.
- IT 866615-17-0P 934182-68-0P 934216-25-8P
  RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
  (Reactant or reagent)
- (preparation of bridged cyclic amine compds. as pest control agents) RN  $\,$  866615-17-0  $\,$  CAPLUS
- CN 8-Azabicyclo[3.2.1]octane, 3-[2-propoxy-4-(trifluoromethyl)phenoxy]-,
  hydrochloride (1:1), (3-exo)- (CA INDEX NAME)

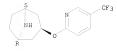
Relative stereochemistry.

● HC1

- RN 934182-68-0 CAPLUS
- CN Benzoic acid, 2-[(3-endo)-8-azabicyclo[3.2.1]oct-3-yloxy]-5-(trifluoromethyl)-, 1-methylethyl ester (CA INDEX NAME)

Relative stereochemistry.

- RN 934216-25-8 CAPLUS



REFERENCE COUNT:

15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L4 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1097510 CAPLUS

DOCUMENT NUMBER: 145:438420

TITLE: Preparation of N-[[(ureido)phenoxy]hetero/aryl]benzami des and related derivatives as NPY antagonists and

their use for treating obesity, and abnormal food behavior and for controlling food intake

INVENTOR(S): Botez, Iuliana; David-Basei, Christelle; Gourlaoueen,

Nelly; Nicolaie, Eric; Balavoine, Fabrice; Valette,

Gerard; Serradeil-Le Gal, Claudine

PATENT ASSIGNEE(S): Cerep, Fr.

SOURCE: PCT Int. Appl., 430pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

								DATE APPLICATION NO.												
	WO 2006108965										WO 2	006-1	20060414							
	WO	2006	1089	65		A3		2007	0329											
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			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
			GE.	GH.	GM.	HR.	HU.	ID,	IL.	IN.	IS.	JP.	KE.	KG.	KM.	KN.	KP.	KR.		
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		BM.						CZ,	DE.	DK.	EE.	ES.	FT.	FR.	GB.	GR.	HII.	TE.		
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								NA,												
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	FR 2884516						A1 20061020 FR 2005-3795									20050415				
						B1 20070622														
							A1 20061019 AU 2006-234													
														20060414						
	ΕP	1879	887			A2		2008	0123		EP 2	006-	7437		20060414					
		R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,		
			IS,	IT,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,		
			BA,	HR,	MK,	YU														
	KR	2008	0091	12		A		2008	0124		KR 2	007-	7262	16		2	0071	112		
IOF	RITY	APP:	LN.	INFO	. :						FR 2	005-	3795		A 2	0050	415			
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OTHER SOURCE(S): MARPAT 145:438420

PRI OTH GI

Title compds. R8R9N-L3-A-Ar3(R5R6)-L2-Ar2(R3R4)-L1-Ar1(R1R2)-Z-C(:Y)-X [I; AB X = di/alkylamino, hydrazino; Z = O, NH; Ar1 = Ph; Y = O, S; or Y = N, in which case Y, Z, and the Ph to which Z is attached form a benzimidazole or benzoxazole ring; R1, R2 = independently H, halo, OH, etc.; L1 = O, S, alkylene; Ar2 = hetero/aryl, heterocyclyl; R3 = independently H, halo, OH, CF3, OCF3, etc.; R1R2Ar1L1Ar2 = tricycle in which R1R3 = alkylene, L1 = 0, S, and Ar2 = Ph; L2 = CONH and derivs., CH2O, OCH2, a bond with provisos; Ar3 = hetero/aryl, heterocyclyl; when L2 = a bond, Ar3 and Ar2 cannot be simultaneously heteroaryl or heterocyclyl; R5, R6 = independently H, halo, OH, alkyl, etc.; A = a bond, O, alkyl(id)ene, CONH, etc. L3 = (un) substituted cyclo/alkylene, bicyclo or polycycloalkyl(id)ene, etc. with proviso; or L3AAr3 = O heterocycle; R8, R9 = independently H, NH2, alkoxy/cyclo/alkyl, heterocyclyl, etc.; or NR8R9 = mono or povlcyclic N heterocycle; including quaternary ammonium compds. containing N+R8R9R10; R10 = alkyl; with provisos; and their pharmaceutically acceptable salts, solvates and hydrates, optical and geometrical isomers and their mixts.] were prepared as neuropeptide Y (NPY) antagonists, particularly selective NPY Y1 subtype antagonists, and their use in therapeutic or prophylactic treatment all NPY involving disorders. Pharmaceutical compns. comprising I and treating methods using them are also disclosed. Thus, II, isolated as HCl salt, was prepared by reacting tropine with 4-fluorobenzonitrile, followed by nitrile hydrolysis, activation of the acid in the presence of TBTU/HOBT in DMF, and reaction with 1-[4-(4-aminophenoxy)-3-ethoxyphenyl]-3-(1-ethylpropyl)urea. III bound specifically to NPY Y1 receptor (IC50 for neuropeptide Y1, Y2, Y4, and Y5 receptors = 1.80 nM, > 10,000 nM, 2620 NM, and > 10,000 nM, resp.). In a test measuring the effects of III on arterial hypertension induced by [Leu31, Pro34] NPY in anesthetized rats, 3 mg/kg III administered orally reduced the blood pressure by .apprx.10 mm Hg after 1.5 h. I are useful for treating diseases characterized by elevated neuropeptide Y activity such as obesity, and abnormal food behavior, and for controlling food intake. 912945-09-6P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reaqent); USES (Uses)

(drug candidate; preparation of NPY antagonists and their use for treating obesity, and abnormal food behavior and for controlling food intake)

RN 912945-09-6 CAPLUS

CN Benzamide, 4-[(3-endo)-8-azabicyclo[3.2.1]oct-3-yloxy]-N-[4-[4-[[(1-ethylpropy1)amino]carbony1]amino]-2-methoxyphenoxy]pheny1]- (CA INDEX NAME)

Relative stereochemistry.

IT 912945-10-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of NPY antagonists and their use for treating obesity, and abnormal food behavior and for controlling food intake)

RN 912945-10-9 CAPLUS

CN Benzamide, 4-[(3-endo)-8-azabicyclo[3.2.1]oct-3-yloxy]-N-[4-[4-[[[(1-ethylpropyl)amino]carbonyl]amino]-2-methoxyphenoxy]phenyl]-N-(2-methoxyethyl)- (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-B

IT 912947-05-8P 912949-97-4P 912950-24-4P 912950-28-8P 912963-22-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent) (intermediate; preparation of NPY antagonists and their use for treating obesity, and abnormal food behavior and for controlling food intake)

RN 912947-05-8 CAPLUS
CN Benzamide, 4-[(3-endo)-8-azabicyclo[3.2.1]oct-3-yloxy]-N-[4-[4-[[[(1-ethylpropyl)amino]carbonyl]amino]-2-methoxyphenoxy]phenyl]-,
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 912945-09-6 CMF C33 H40 N4 O5

Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 912949-97-4 CAPLUS

CN Benzoic acid, 4-[(3-endo)-8-azabicyclo[3.2.1]oct-3-yloxy]-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 912950-24-4 CAPLUS

CN Benzamide, 4-[(3-endo)-8-azabicyclo[3.2.1]oct-3-yloxy]-N-[4-(2-methoxy-4-nitrophenoxy)phenyl]-N-methyl- (CA INDEX NAME)

Relative stereochemistry.

RN 912950-28-8 CAPLUS

CN Benzamide, 4-[(3-endo)-8-azabicyclo[3.2.1]oct-3-yloxy]-N-[4-(2-methoxy-4-nitrophenoxy)phenyl]-N-propyl- (CA INDEX NAME)

Relative stereochemistry.

RN 912963-22-5 CAPLUS

CN Benzonitrile, 4-[(3-endo)-8-azabicyclo[3.2.1]oct-3-yloxy]- (CA INDEX NAME)

II 912951-38-3, 4-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]-N-[4-[4-[3-(1-ethylpropyl)ureido]-2-methoxyphenoxy]phenyl]benzamide
RL: RCI (Reactant); RACI (Reactant or reagent)

(preparation of NPY antagonists and their use for treating obesity, and abnormal food behavior and for controlling food intake)

RN 912951-38-3 CAPLUS

CN Benzamide, 4-(8-azabicyclo[3.2.1]oct-3-yloxy)-N-[4-[4-[[[(1-ethylpropyl)amino]carbonyl]amino]-2-methoxyphenoxy]phenyl]- (CA INDEX NAME)

L4 ANSWER 11 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:700029 CAPLUS

DOCUMENT NUMBER: 145:167108

TITLE: Preparation of novel 8-aza-bicyclo[3.2.1]octane

derivatives and their use as monoamine neurotransmitter re-uptake inhibitors

INVENTOR(S): Peters, Dan; Dahl, Bjarne H.; Olsen, Gunnar M.;

Nielsen, Elsebet Oestergaard; Scheel-Krueger, Joergen;

Redrobe, John Paul
PATENT ASSIGNEE(S): Neurosearch A/S, Den.

SOURCE: PCT Int. Appl., 24 pp. CODEN: PIXXD2

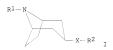
DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

FAMILY	ACC.	NUM.	COUNT
PATENT	INFO	RMATI	ON:

PA		KIN		DATE			APPL												
WO	2006	0750	04		A2 200 A3 200			0720	WO 2006-EP50143						20060111				
		AE, CN, GE,	AG, CO, GH,	AL, CR, GM,	AM, CU, HR,	AT, CZ, HU,	AU, DE, ID,	AZ, DK, IL,	DM, IN,	DZ, IS,	EC, JP,	EE, KE,	EG, KG,	ES, KM,	FI, KN,	GB, KP,	GD, KR,		
		MZ, SG,	NA, SK,	NG, SL,	NΙ,	NO, SY,	LT, NZ, TJ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,		
	RW:	IS, CF, GM,	IT, CG, KE,	LT, CI, LS,	LU, CM, MW,	LV, GA, MZ,	CZ, MC, GN, NA,	NL, GQ,	PL, GW,	PT, ML,	RO, MR,	SE, NE,	SI, SN,	SK, TD,	TR, TG,	BF, BW,	BJ, GH,		
EP	1838 R:	705 AT,	BE,	BG,	CH,	CY,	2007 CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,			
US PRIORIT		0042	52							NL, PL, PT, RO, SE, SI US 2007-794365 DK 2005-68 US 2005-643590P WO 2006-EP50143						20070628 A 20050113 P 20050114			

OTHER SOURCE(S): MARPAT 145:167108



AB The title compds. I [R1 = CH2CO2Rt, CH2CONH2, CH2(pyridinyl), etc.; X = 0, 5, NR3; R3 = H, alkyl, R(2)(DR4, SO2R4\* R4 = H, alkyl; R(2) = (un)substituted (hetero)aryl], useful as monoamine neurotransmitter re-uptake inhibitors, were prepared Thus, reacting 3-(3,4-dichlorophenoxy)-8-azabicyclo[3,2.1]octane with Et bromoacetate afforded 94% Et [3-(3,4-dichlorophenoxy)-8-azabicyclo[3,2.1]oct-8-yl]acetate. Preferred compds. I show a biol. activity in the submicromolar and micromolar range,

i.e. of from below 1 to about 100  $\mu M$ . The invention also relates to the use of compds. I in a method for therapy and to pharmaceutical compns. comprising the compds. I.

IT 900501-97-5 900501-98-6

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of novel azabicyclo[3.2.1]octane derivs. as monoamine neurotransmitter reuptake inhibitors useful for therapy)

neurotransmitter reuptake inhibitors useful for therapy RN 900501-97-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(3,4-dichlorophenoxy)- (CA INDEX NAME)

N 900501-98-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(5-chloro-2-pyridiny1)oxy]- (CA INDEX NAME)

L4 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:317352 CAPLUS

DOCUMENT NUMBER: 144:350546

TITLE: Chromen-2-one derivatives as monoamine

neurotransmitter re-uptake inhibitors, their

APPLICATION NO

IN 2007-CN1309 NO 2007-2209 DK 2004-1491

US 2004-614052P P 20040930 WO 2005-EP54861 W 20050928

DATE

A 20040930

preparation, pharmaceutical compositions, and use in therapy

INVENTOR(S): Peters, Dan; Olsen, Gunnar M.; Scheel-Krueger,

Joergen; Nielsen, Elsebet Oestergaard

KIND DATE

PATENT ASSIGNEE(S): Neurosearch A/S, Den.

SOURCE:

PCT Int. Appl., 24 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION: PATENT NO

PRIORITY APPLN. INFO.:

PATENT NO.						KIND DAIE				LICAI.		DAIL							
WO	2006	0350	34		A1		2006	0406			2005-1				2	0050	928		
											BG,								
		CN.	co.	CR.	CU.	CZ.	DE.	DK.	DM.	DZ.	EC,	EE.	EG.	ES.	FI.	GB,	GD,		
											JP,								
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,		
		NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,		
		SK,	SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,		
		YU,	ZA,	ZM,	ZW														
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,		
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,		
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,		
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		KG,	KZ,	MD,	RU,	ТJ,	TM												
ΑU	2005	2889	14		A1	A1 20060406				AU 2	2005-		2	0050	928				
	2582				A1	A1 20060406													
EΡ	1797	880			A1		2007	0620	EP 2005-792113						20050928				
	R:										ES,								
		IS,	IT,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	HR		
	1010						2007								20050928				
	2007										2007-								
	2007						2007				2007-								
	2007						2007				2007-								
	2007										2007-								
	2007				A		2007	0427			2007-					0070			

OTHER SOURCE(S): MARPAT 144:350546

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The invention relates to chromen-2-one derive. I, which are monoamine neurotransmitter re-uptake inhibitors. In compds. I, Rl is H or (un) substituted alkyl; R2, R3, R4, and R5 are each independently selected from H and alkyl; R2, R3, R4, and R5 are each independently selected from H and alkyl, or R2 and R4 together form -(CH2)p-, where p is 1-3; m is 0-2; n is 0-2; X is O or (un) substituted N, and O represents (un) substituted chromen-2-one; including isomers and pharmaceutically acceptable salts thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising a therapeutically effective amount of a compound I with at least one pharmaceutically acceptable carrier, excipient or diluent, as well as to the use of the compns. for the treatment, prevention, or alleviation of a disease, disorder, or condition responsive to inhibition of monoamine neurotransmitter re-uptake in the central nervous system. Mitsunobu reaction of tropine (II) with

invention express activity from below 1 µM to about 100 µM. 881387-70-8F RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses) (drug candidate; preparation of chromenone derivs. as monoamine neurotransmitter re-uptake inhibitors)

RN 881387-70-8 CAPLUS

CN 2H-1-Benzopyran-2-one, 6-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]- (CA INDEX NAME)

II 881387-66-2P 881387-68-4P 881387-69-5P Rl: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of chromenone derivs. as monoamine neurotransmitter re-uptake inhibitors)

RN 881387-66-2 CAPLUS

N 2H-1-Benzopyran-2-one, 7-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-, hvdrochloride (1:1) (CA INDEX NAME)

### Relative stereochemistry.

## ● HC1

- RN 881387-68-4 CAPLUS
- CN 2H-1-Benzopyran-2-one, 7-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]- (CA INDEX NAME)

## Relative stereochemistry.

- RN 881387-69-5 CAPLUS
- CN 2H-1-Benzopyran-2-one, 6-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-, hydrochloride (9CI) (CA INDEX NAME)

# Relative stereochemistry.

# • HC1

REFERENCE COUNT:

3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L4 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1103769 CAPLUS

DOCUMENT NUMBER: 143:386926

TITLE: Preparation of N-(2-pyridy1)cyclic amine derivatives

as pest control agents

INVENTOR(S): Hamamoto, Isami; Takahashi, Jun; Yano, Makio; Hanai, Daisuke; Iwasa, Takao

PATENT ASSIGNEE(S): Nippon Soda Co., Ltd., Japan

SOURCE: PCT Int. Appl., 183 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.																		
	2005															0050	330	
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
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		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	
		SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		AZ,	BY,	KG,	KZ,	MD,	RU,	ΤJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,	
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	
		MR,	NE,	SN,	TD,	TG												
AU	2005	2282	89		A1		2005	1013		AU 2	005-	2282	89		2	0050	330	
	2005																	
EP	1731	518			A1		2006	1213		EP 2	005-	7286	46		2	0050	330	
	R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	
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		HR,	LV,	MK,	YU													
	1938						2007											
	2005										005-							
	2006						2007	0601			006-							
	2008						2008				006-							
KR	2007	0211	74		A		2007	0222		KR 2	006-	7207	73		2	0061	004	
KR	8044	52			B1		2008	0220										
PRIORIT	Y APP	LN.	INFO	. :							004-							
											004-							
										WO 2	005-	JP68	87		W 2	0050	330	
OTHER SO	OURCE	(S):			MAR	PAT	143:	3869:	26									

$$(R^1)_{\mathfrak{m}} \times \times (R^2)_{\mathfrak{k}} \times \times \times (R^2)_{\mathfrak{k}}$$

AB The title compds. (I) [R1 = HO, halo, cyano, NO2, CHO, each (un)substituted C1-6 alkyl, C1-6 alkoxy, NH2, or 5- or 6-membered heterocyclyl containing at least one heteroatom selected from O, N, and S,

Ι

C2-6 alkenyl, C2-6 alkynyl, C1-6 haloalkyl, C1-6 haloalkenyl, C1-6 alkylcarbonyl, C1-6 haloalkoxy, C2-6 alkenyloxy, C2-6 haloalkenyloxy, C2-6 alkynyloxy, C1-6 alkylcarbonyloxy, C1-6 alkoxycarbonyloxy, C1-6 alkylthiocarbonyloxy, C1-6 alkylthio, C1-6 haloalkylthio, C1-6 alkylsulfinyl, C1-6 haloalkylsulfinyl, C1-6 alkylsulfonyl, etc.; m = 0-5; R2 = halo, NO2, C1-6 alkyl, C1-6 alkoxy, C1-6 haloalkyl, (un)substituted 5- or 6-membered heterocyclyl containing at least one heteroatom selected from O, N, and S; k = 0-4; R3, R31 R4, R41, R5, R51, R6, R61, R7 = H, C1-6 alkyl, C1-6 alkoxycarbonyl, C1-6 alkoxy; or R3 and R4 or R5 and R6 together form a saturated ring; X = 0, S, S(0), S(0)2; n = 0, 1], salts, or N-oxide thereof are prepared Thus, a solution of 3.0 g 4-hydroxypiperidine and 5.4 g 2-chloro-5-trifluoromethylpyridine in 25 mL ethanol was treated with 4.5 g Et3N and refluxed overnight to give 5.98 g 1-[5-(Trifluoromethyl)pyridin-2-yl]piperidin-4-ol (II). A solution of II 4.9, 5-hydroxy-2-nitrobenzotrifluoride 3.2, and Ph3P 5.6 g in 30 mL THF was treated dropwise with a solution of 4.3 g diisopropyl azodicarboxylate in 30 mL THF under ice-cooling, warmed to room temperature, and stirred for 3 h to give 5.98 g 4-[4-Nitro-3-(trifluoromethyl)phenoxy]-1-[5-(trifluoromethyl)-2-pyridyl]-piperidine (III). A solution of 5.7 g III in 300 mL ethanol was treated with 18.8 g zinc powder and 1.9 g CaCl2.2H2O and refluxed overnight to give 5.4 g 4-[4-Amino-3-(trifluoromethyl)phenoxy]-1-[5-(trifluoromethyl)-2-pyridyll-piperidine (IV). IV at 125 ppm controlled 100% adult Tetranychus urticae on kidney bean leaf.

T 866615-17-0P 866615-33-0P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N-(2-pyridyl)cyclic amine derivs. as pesticides such as insecticides and miticides)

RN 866615-17-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[2-propoxy-4-(trifluoromethyl)phenoxy]-, hydrochloride (1:1), (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

HC1

RN 866615-33-0 CAPLUS CN 8-Azabicyclo[3, 2, 1]

8-Azabicyclo[3.2.1]octane, 3-[2-propoxy-4-(trifluoromethyl)phenoxy]-, (3-endo)- (CA INDEX NAME)

L4 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:141056 CAPLUS DOCUMENT NUMBER: 142:240467

TITLE: Piperidinyl- and piperazinyl-substituted

phenylsulfonyl benzazepine compounds as antipsychotic

agents and their preparation, pharmaceutical compositions, and use.

INVENTOR(S): Cooper, David Gwyn; Forbes, Ian Thomson; Garzya,

Vincenzo; Gribble, Andrew Derrick; Lightfoot, Andrew

P.; Pavne, Andrew H.; Walker, Graham

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 46 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

E	PATENT	KIND DATE				APPLICATION NO.							DATE							
ī	WO 2005014578						20050217			WO 2	004-	EP89	20040805							
	W:	W: AE, AG, AL,		AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,				
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,			
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KΡ,	KR,	ΚZ,	LC,			
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,			
	NO, NZ, OM,		OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,				
		ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW			
	RW:	BW,	GH,	GM,	KΕ,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,			
		ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,			
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,			
		SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,			
		SN,	TD,	TG																
PRIOR	ITY APP	LN.	INFO	. :						GB 2	003-	1870	7		A 2	0030	808			
										GB 2	003-	1871	5	A 20030808						

OTHER SOURCE(S): CASREACT 142:240467; MARPAT 142:240467

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- AB The invention provides compds. I [wherein: A, B = (CH2)m and (CH2)n, resp.; R1 = H or C1-6 alkyl; R2 = H, halo, OH, cyano, NO2, hydroxyalkyl, CF3, CF30, C1-6 alkyl, C1-6 alkoxy, C1-6 fluoroalkoxy, (CH2)p-C3-6-cycloalkyl, (CH2)pO-C3-6-cycloalkyl, CO-C1-6-alkyl, SO2-C1-6-alkyl, SO-C1-6-alkyl, S-C1-6-alkyl, CO2-C1-6-alkyl, CO2NR5R6, SO2NR5R6, (CH2)pNR5R6, (CH2)pNR5COR6, (un)substituted (hetero)aryl or heterocyclyl; R3 = (un)substituted (hetero)aryl; R4 = H, OH, C1-6 alkyl, C1-6 alkoxy, CF3, CF30, halo, OSO2CF3, (CH2)p-C3-6-cycloalkyl, (CH2)qO-C1-6-alkvl, or (CH2)pO-C3-6-cvcloalkvl; X = CH or N; Z = bond, O, (CH2)r, CH2O, OCH2, or CO; R5, R6 = H, C1-6 alkvl, or together with the intervening atoms form an azacycloalkyl ring with optional oxo substitution; R7, R8 = H or C1-6 alkyl; or R7R8 = (CH2)s; m, n = 1 or 2; p = 0, 1, 2, or 3; q, r = 1, 2, or 3; s = 2, 3, or 4; or a pharmaceutically acceptable salt or solvate, with the proviso that when X = N, then Z = bond, (CH2)r, or CO]. I are useful in therapy, in particular as antipsychotic agents. Use of I for treatment of numerous other CNS diseases and disorders is also claimed. Approx. 60 compds. were prepared in examples, and a subset of these are claimed individually. For instance, the intermediate 7-(4-fluorobenzenesulfonyl)-8-methoxy-3-methyl-2,3,4,5tetrahydro-1H-3-benzazepine (prepared in 5 steps) underwent aromatic amination with 4-(4-fluorophenoxy) piperidine in dry DMSO at 30° to give 53% invention compound II. Compound II bound to human or unspecified cloned receptors in vitro with Ki values as follows: human D3 7.2-8.8, human D2 6.6-8.5, cloned 5-HT6 7.2-8.5, cloned 5-HT2C 6.6-8.2, and cloned 5-HT2A
  - II 845291-48-7P, endo-3-(4-Chlorophenoxy)-8-azabicyclo[3.2.1]octane RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of piperidinyl- and piperazinyl-substituted phenylsulfonyl benzazepine compds. as antipsychotics)

RN 845291-48-7 CAPLUS

7.3-9.2.

CN 8-Azabicyclo[3.2.1]octane, 3-(4-chlorophenoxy)-, (3-endo)- (CA INDEX NAME)

Relative stereochemistry.

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:1154707 CAPLUS

DOCUMENT NUMBER: 142:94018

TITLE: Preparation of novel 8-azabicyclo[3.2.1]octane

derivatives for use in pharmaceutical compositions as monoamine neurotransmitter re-uptake inhibitors INVENTOR(S): Peters, Dan; Eriksen, Birgitte L.; Nielsen, Elsebet

Ostergaard; Scheel-Krueger, Jorgen; Olsen, Gunnar M.

PATENT ASSIGNEE(S): Neurosearch A/S, Den.

SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	TENT :	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		DATE					
WO	2004	1133	34		A1		2004	1229		WO 2	004-	EP51	167		2	0040	618			
							AU,									CA,	CH,			
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES.	FI,	GB,	GD,			
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,			
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,			
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,			
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW			
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							RU,													
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,			
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			TD,																	
	2004																			
	2530						2004													
EP	1638						2006													
	R:						ES,													
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	1798						2006				004-									
BR	2004	0116	08		A		2006	0808			004-									
MX	2005	PA13	444		A		2006	0731			005-									
US	2006	1423	31		A1		2006	0629			005-									
IN	2005	CN03	509		A		2007	0518			005-									
	2006				A		2006	0324		NO 2	006-	360			- 2	0060	123			
ORIT:	Y APP	LN.	INFO	.:						DK 2	003-	939		- 1	A 2					
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										WU Z	004-	EL 2 I	Τ0 /		n 2	0040	ото			

OTHER SOURCE(S): MARPAT 142:94018

GI

AB

8-Azabicyclo[3.2.1]octane derivs. of tropine and pseudotropine, such as I [R = H, alkyl; R1 = aryl, heteroaryl; X = O, S, NR3; R3 = H, alkyl, acyl, sulfonyl, etc.], were prepared for therapeutic use in the treatment of diseases, disorders or conditions responsive to inhibition of monoamine neurotransmitter reuptake in the central nervous system (CNS). The CNS disorders claimed for treatment include mood disorder, depression, atypical depression, major depressive disorder, dysthymic disorder, bipolar disorder, bipolar I disorder, bipolar II disorder, cyclothymic disorder, mood disorder due to a general medical condition, substance-induced mood disorder, pseudodementia, Ganser's syndrome, obsessive compulsive disorder, panic disorder, panic disorder without agoraphobia, panic disorder with agoraphobia, agoraphobia without history of panic disorder, panic attack, memory deficits, memory loss, attention deficit hyperactivity disorder, obesity, anxiety, generalized anxiety disorder, eating disorder, Parkinson's disease, parkinsonism, dementia, dementia of ageing, senile dementia, Alzheimer's disease, acquired immunodeficiency syndrome dementia complex, memory dysfunction in ageing, specific phobia, social phobia, posttraumatic stress disorder, acute stress disorder, drug addiction, drug misuse, cocaine abuse, nicotine abuse, tobacco abuse and alcoholism. Further, the CNS disorders claimed for treatment include pain, chronic pain, inflammatory pain, neuropathic pain, migraine pain, tension-type headache, chronic tension-type headache, pain associated with depression, fibromyalgia, arthritis, osteoarthritis, rheumatoid arthritis, back pain, cancer pain, irritable bowel pain, irritable bowel syndrome, postoperative pain, post-stroke pain, drug-induced neuropathy, diabetic neuropathy, sympathetically-maintained pain, trigeminal neuralgia, dental pain, myofacial pain, phantom-limb pain, bulimia, premenstrual syndrome, late luteal phase syndrome, posttraumatic syndrome, chronic fatigue syndrome, urinary incontinence, stress incontinence, urge incontinence, nocturnal incontinence, sexual dysfunction, premature ejaculation, erectile difficulty, erectile dysfunction, eating disorders, anorexia nervosa, sleep disorders, autism, mutism, trichotillomania, narcolepsy, post-stroke depression, stroke-induced brain damage, stroke-induced neuronal damage or Gilles de la Tourette's disease. Thus, endo-8-azabicyclo[3.2.1]octane derivative II was prepared in 33% yield by reacting tropine with tetrahydrothiophene using t-BuOK and 18-crown-6 ether in DMF. Dosages and pharmaceutical compns. of these 8-azabicyclo[3.2.1]octanes were discussed. 817198-27-9P RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);

II

BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of novel 8-azabicyclo[3.2.1]octane tropine or pseudotropine derivs. for use in pharmaceutical compns. as monoamine neurotransmitter re-uptake inhibitors)

817198-27-9 CAPLUS RN

CN

8-Azabicyclo[3.2.1]octane, 3-(3,4-dichlorophenoxy)-, (3-exo)- (CA INDEX NAME)

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817194-14-2P 817194-20-0P 817194-28-8P
817194-43-7P 817194-49-3P, exo-3-(3-Chlorophenoxy)-8H-8-
azabicyclo[3.2.1]octane 817194-50-6P 817194-55-1P
817194-59-5P, exo-3-(4-Chlorophenoxy)-8H-8-azabicyclo[3.2.1]octane
817194-60-8P 817194-64-2P, exo-3-(2-Chloro-3-
trifluoromethylphenoxy)-8H-8-azabicyclo[3.2.1]octane 817194-65-3P
817194-71-1P 817194-76-6P 817194-96-0P,
exo-3-(4-Chloro-3-trifluoromethylphenoxy)-8H-8-azabicyclo[3.2.1]octane
817194-97-1P 817195-02-1P, exo-3-(2-Dibenzofuranyloxy)-
8H-8-azabicvclo[3.2.1]octane 817195-03-2P 817195-08-7P
exo-3-(1-Naphthyloxy)-8H-8-azabicyclo[3,2,1]octane 817195-09-8P
817195-12-3P, exo-3-(2-Naphthyloxy)-8H-8-azabicyclo[3.2.1]octane
817195-13-4P 817195-17-8P, exo-3-(3-Chloro-4-
cyanophenoxy)-8H-8-azabicyclo[3.2.1]octane 817195-18-9P
817195-20-3P, exo-3-(4-Chloro-3-methylphenoxy)-8H-8-
azabicvclo[3.2.1]octane 817195-21-4P 817195-24-7P.
exo-3-(4-Chloronaphthalen-1-vloxy)-8H-8-azabicyclo[3.2.1]octane
817195-25-8P 817195-28-1P, exo-3-(Quinolin-2-yloxy)-8H-8-
azabicyclo[3.2.1]octane 817195-29-2P 817195-34-9P,
exo-3-(5-Chloropyridin-2-vl)-8H-8-azabicyclo[3.2.1]octane
817195-35-0P 817195-41-8P, exo-3-(4-Methoxyphenoxy)-8H-8-
azabicvclo[3,2]octane 817195-42-9P 817195-46-3P.
exo-3-(Isoquinolin-5-yloxy)-8H-8-azabicyclo[3.2.1]octane
817195-47-4P 817195-52-1P 817195-57-6P,
exo-3-(4-Bromo-3-chlorophenoxy)-8H-8-azabicyclo[3.2.1]octane
817195-58-7P 817195-65-6P, exo-3-(Quinolin-6-yloxy)-8H-8-
azabicvclo[3.2.1]octane 817195-66-7P 817195-78-1P,
exo-3-(4-Cvanophenoxy)-8H-8-azabicvclo[3.2.1]octane 817195-79-2P
817195-85-0P, exo-3-(Ouinolin-8-vloxy)-8H-8-
azabicvclo[3.2.1]octane 817195-86-1P 817195-88-3P.
exo-3-(4-Methylphenoxy)-8H-8-azabicyclo[3.2.1]octane 817195-89-4P
817195-95-2P, exo-3-(6-Chloropyridin-2-yloxy)-8H-8-
azabicvclo[3.2.1]octane 817195-96-3P 817196-01-3P,
exo-3-(5-Bromopyridin-2-vloxy)-8H-8-azabicyclo[3.2.1]octane
817196-02-4P 817196-04-6P, exo-3-(6-Bromopyridin-2-
vloxv)-8H-8-azabicvclo[3.2.1]octane 817196-05-7P
817196-09-1P, exo-3-(Isoquinolin-1-yloxy)-8H-8-
azabicyclo[3.2.1]octane 817196-10-4P 817196-13-7P
817196-18-2P 817196-23-9P, exo-3-(6-Methoxypyridin-2-
vloxv)-8H-8-azabicvclo[3.2.1]octane 817196-24-0P
817196-30-8P, exo-3-(5-Trifluoromethylpyridin-2-yloxy)-8H-8-
azabicvclo[3.2.1]octane 817196-31-9P 817196-36-4P.
exo-3-(6-Ethoxypyridin-2-yloxy)-8H-8-azabicyclo[3.2.1]octane
817196-37-5P 817196-44-4P, exo-3-(4-Fluoro-3-
trifluoromethylphenoxy)-8H-8-azabicyclo[3.2.1]octane 817196-45-5P
817199-45-4P 817629-76-8P 817629-77-9P
817629-78-0P 817629-89-3P 817629-91-7P
817629-92-8P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
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study); PREP (Preparation); USES (Uses)

(preparation of novel 8-azabicyclo[3.2.1]octane tropine or pseudotropine derivs. for use in pharmaceutical compns. as monoamine neurotransmitter re-uptake inhibitors)

RN 817194-14-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(3,4,5-trichloro-2-thienyl)oxy]-, (3-endo)-(CA INDEX NAME)

Relative stereochemistry.

RN 817194-20-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(2,3-dichlorophenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817194-28-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(3,4-dichlorophenoxy)-, hydrochloride, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 817194-43-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(3-chloro-4-fluorophenoxy)-, (3-exo)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 817194-42-6

CMF C13 H15 C1 F N O

Relative stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817194-49-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(3-chlorophenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817194-50-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(3-chlorophenoxy)-, (3-exo)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 817194-49-3

CMF C13 H16 C1 N O

CM 2

CRN 110-17-8 CMF C4 H4 O4 Double bond geometry as shown.

RN 817194-55-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-chloro-3-fluorophenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817194-59-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-chlorophenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817194-60-8 CAPLUS

CM 1

CRN 817194-59-5

CMF C13 H16 C1 N O

Relative stereochemistry.

CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.

RN 817194-64-2 CAPLUS

Relative stereochemistry.

RN 817194-65-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[2-chloro-3-(trifluoromethyl)phenoxy]-, (3-exo)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 817194-64-2

CMF C14 H15 C1 F3 N O

Relative stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817194-71-1 CAPLUS

CN 9H-Fluoren-9-one, 2-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]- (CA INDEX NAME)

RN 817194-76-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(1,2-benzisothiazol-3-yloxy)-, monohydrochloride, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 817194-96-0 CAPLUS

Relative stereochemistry.

RN 817194-97-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[4-chloro-3-(trifluoromethy1)phenoxy]-, (3-exo)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 817194-96-0 CMF C14 H15 C1 F3 N O

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817195-02-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(2-dibenzofuranyloxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817195-03-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(2-dibenzofuranyloxy)-, (3-exo)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 817195-02-1 CMF C19 H19 N O2

Relative stereochemistry.

CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.

RN 817195-08-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(1-naphthalenyloxy)-, (3-exo)- (CA INDEX NAME)

#### Relative stereochemistry.



RN 817195-09-8 CAPLUS CN 8-Azabicyclo[3.2.1]

8-Azabicyclo[3.2.1]octane, 3-(1-naphthalenyloxy)-, (3-exo)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 817195-08-7 CMF C17 H19 N O

# Relative stereochemistry.



CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817195-12-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(2-naphthalenyloxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817195-13-4 CAPLUS

CM 1

CRN 817195-12-3 CMF C17 H19 N O

Relative stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817195-17-8 CAPLUS

CN Benzonitrile, 4-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-2-chloro- (CA INDEX NAME)

Relative stereochemistry.

RN 817195-18-9 CAPLUS

CN Benzonitrile, 4-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-2-chloro-,

(2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 817195-17-8 CMF C14 H15 C1 N2 O

Relative stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817195-20-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-chloro-3-methylphenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817195-21-4 CAPLUS

CM 1

CRN 817195-20-3 CMF C14 H18 C1 N O

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817195-24-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4-chloro-1-naphthalenyl)oxy]-, (3-exo)-(CA INDEX NAME)

Relative stereochemistry.

RN 817195-25-8 CAPLUS

CM 1

CRN 817195-24-7 CMF C17 H18 C1 N O

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817195-28-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(2-quinolinyloxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817195-29-2 CAPLUS

CN 8-Azabicyclo[3,2.1]octane, 3-(2-quinolinyloxy)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 817195-28-1 CMF C16 H18 N2 O

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817195-34-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(5-chloro-2-pyridinyl)oxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817195-35-0 CAPLUS

CM

CRN 817195-34-9 CMF C12 H15 C1 N2 O

Relative stereochemistry.

CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.

RN 817195-41-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-methoxyphenoxy)-, (3-exo)- (CA INDEX NAME)

RN 817195-42-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-methoxyphenoxy)-, (3-exo)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 817195-41-8

CMF C14 H19 N O2

## Relative stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817195-46-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(5-isoquinolinyloxy)-, (3-exo)- (CA INDEX NAME)

#### Relative stereochemistry.

RN 817195-47-4 CAPLUS

CM 1

CRN 817195-46-3

CMF C16 H18 N2 O

Relative stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817195-52-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-bromo-2-naphthalenyl)oxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817195-57-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-bromo-3-chlorophenoxy)-, (3-exo)- (CA INDEX NAME)

RN 817195-58-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-bromo-3-chlorophenoxy)-, (3-exo)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 817195-57-6

CMF C13 H15 Br C1 N O

### Relative stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817195-65-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(6-quinolinyloxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817195-66-7 CAPLUS

CM 1

CRN 817195-65-6 CMF C16 H18 N2 O

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817195-78-1 CAPLUS

CN Benzonitrile, 4-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 817195-79-2 CAPLUS

Enzonitrile, 4-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM

CRN 817195-78-1

CMF C14 H16 N2 O

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817195-85-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(8-quinolinyloxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817195-86-1 CAPLUS

CM 1

CRN 817195-85-0 CMF C16 H18 N2 O

Relative stereochemistry.

CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.

RN 817195-88-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-methylphenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817195-89-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-methylphenoxy)-, (3-exo)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM

CRN 817195-88-3 CMF C14 H19 N O

Relative stereochemistry.

CM :

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817195-95-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-chloro-2-pyridiny1)oxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817195-96-3 CAPLUS

N 8-Azabicyclo[3.2.1]octane, 3-[(6-chloro-2-pyridiny1)oxy]-, (3-exo)-,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

Relative stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817196-01-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(5-bromo-2-pyridinyl)oxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817196-02-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(5-bromo-2-pyridinyl)oxy]-, (3-exo)-,
 (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 817196-01-3

CMF C12 H15 Br N2 O

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817196-04-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-bromo-2-pyridinyl)oxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817196-05-7 CAPLUS

CM 1

CRN 817196-04-6

CMF C12 H15 Br N2 O

Relative stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817196-09-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(1-isoquinolinyloxy)-, (3-exo)- (CA INDEX

Relative stereochemistry.

RN 817196-10-4 CAPLUS

CM 1

CRN 817196-09-1 CMF C16 H18 N2 O

Relative stereochemistry.



CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817196-13-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(trifluoromethoxy)phenoxy]-, (3-exo)- (CA INDEX NAME)

RN 817196-18-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[4-(trifluoromethoxy)phenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817196-23-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-methoxy-2-pyridiny1)oxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817196-24-0 CAPLUS

CM 1

CRN 817196-23-9 CMF C13 H18 N2 O2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

HO2C E CO2H

RN 817196-30-8 CAPLUS

8-Azabicyclo[3.2.1]octane, 3-[[5-(trifluoromethyl)-2-pyridinyl]oxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817196-31-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[5-(trifluoromethyl)-2-pyridinyl]oxy]-, (3-exo)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM

CRN 817196-30-8 CMF C13 H15 F3 N2 O

Relative stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817196-36-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-ethoxy-2-pyridiny1)oxy]-, (3-exo)- (CA INDEX NAME)

OEt

RN 817196-37-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-ethoxy-2-pyridinyl)oxy]-, (3-exo)-,
 (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM

CRN 817196-36-4 CMF C14 H20 N2 O2

Relative stereochemistry.



CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817196-44-4 CAPLUS

Relative stereochemistry.

RN 817196-45-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[4-fluoro-3-(trifluoromethyl)phenoxy]-,

(3-exo)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 817196-44-4 CMF C14 H15 F4 N O

Relative stereochemistry.

CM

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817199-45-4 CAPLUS

Relative stereochemistry.

RN 817629-76-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(3,4,5-trichloro-2-thienyl)oxy]-, hydrochloride, (3-exo)- (9CI) (CA INDEX NAME)

● HCl

RN 817629-77-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(3,4-dichlorophenoxy)-, hydrochloride, (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 817629-78-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[4-(trifluoromethyl)phenoxy]-, hydrochloride, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 817629-89-3 CAPLUS

N 8-Azabicyclo[3.2.1]octane, 3-[(3,4,5-trichloro-2-thienyl)oxy]-, (3-exo)-(CA INDEX NAME)

RN 817629-91-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(3,4-dichlorophenoxy)-, (3-endo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817629-92-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[4-(trifluoromethyl)phenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:80685 CAPLUS

DOCUMENT NUMBER: 140:146011

TITLE: Preparation of bicyclic piperidine derivatives as

antagonists of the CCR1 chemokine receptor

INVENTOR(S): Blumberg, Laura Cook; Brown, Matthew Frank; Hayward,

Matthew Merrill; Poss, Christopher Stanley

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 90 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA	PATENT NO.				KIN	D	DATE				ICAT		NO.		D	20030707 CA, CH, CN, GD, GE, GH, LC, LK, LR, NO, NZ, OM,		
WO	2004	0095	88		A1		2004	0129					55		2	0030	707	
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,	
		PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	
		TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW						
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	
		KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	
		FΙ,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,	
											GW,							
CA	2492	110			A1		2004	0129		CA 2	003-	2492	110		2	0030	707	
	2003																	
	2003																	
EP	1525										003-							
	R:										IT,						PT,	
											TR,							
	1668				A						003-							
	2005										004-							
	2004										003-							
	2004				A						004-							
	2005				A		2005	0419			005-1					0050		
PRIORIT	Y APP	LN.	INFO	. :							002-							
										WO 2	003-	IB31	55	1	W 2	0030	707	
OTHER S GI	OURCE	(S):			MARI	PAT	140:	1460	11									

$$\begin{bmatrix} \mathbf{R}^5 & \mathbf{0} \\ \mathbf{0} \\ \mathbf{c}_{\mathbf{W}} & \mathbf{Z} \\ \mathbf{R}^6 \end{bmatrix}_{\mathbf{b}} \begin{bmatrix} \mathbf{R}^4 \\ \mathbf{R}^3 \end{bmatrix}_{\mathbf{R}^3} \mathbf{R}^2$$

AB The title compds. [I; a = 1-5; b = 0-4; c = 0-1; Q = alkyl; W = aryl, heteroaryl; Y = 0, NH, N(alkyl); Z = 0, NH, N(alkyl), N(acetyl); R1 = H, halo, CN, NO2, etc.; R2, R3 = H, alkyl, haloalkyl; R4 = alkylene, (CH2)xO(CH2)y (wherein x, y = 1-2); R5 = H, halo, alkyl, etc.; R6 = H,

halo, alkyl, etc.], useful as potent and selective inhibitors of MIP-1u(CL3) binding to its receptor CCR1 found on inflammatory and immunomodulatory cells (preferably leukocytes and lymphocytes), were prepared E.g., a multi-step synthesis of (trans)-5-chloro-2-(2-[3-(4-fluorophenoxy)-8-az-bicyclo[3.2.1]oct-8-yl]-2-oxoethoxy|benzamide was given. All exemplified compds. I had IC50 of <10  $\mu\mathrm{M}$  in the chemotaxis assay. Pharmaceutical composition comprising the compound I is claimed.

IT 652148-02-2P 653600-07-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of bicyclic piperidine derivs. as antagonists of the CCRl chemokine receptor)

RN 652148-02-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-fluorophenoxy)-, (3-endo)- (CA INDEX NAME)

## Relative stereochemistry.

RN 653600-07-8 CAPLUS

N 8-Azabicyclo[3.2.1]octane, 3-(4-fluorophenoxy)-, (3-exo)- (CA INDEX NAME)

### Relative stereochemistry.

REFERENCE COUNT:

3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L4 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:964330 CAPLUS

DOCUMENT NUMBER: 138:39295

TITLE: Preparation of heterocyclic compounds as Rho-kinase

inhibitors

INVENTOR(S): Imazaki, Naonori; Kitano, Masafumi; Ohashi, Naohito;

Matsui, Kazuki PATENT ASSIGNEE(S):

Sumitomo Pharmaceuticals Company, Limited, Japan

SOURCE: PCT Int. Appl., 425 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA:	PATENT NO.				KIN	D	DATE			APPI	ICAT	ION :	NO.		DATE			
WO	2002	1008	33		A1	-	2002	1219		WO 2	2002-	JP56	 09		2	0020	606	
	W:										BG,							
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KR,	KZ,	LC,	LK,	LR,	LS,	
		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	ΝZ,	OM,	PH,	PL,	
		PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	
							ZA,											
	RW:										TZ,							
											IT,							
											GW,							
	2002																	
EP	1403																	
	R:										IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	TR							
US	2004	1382	86		A1		2004	0715		US 2	2003-	4805	26		2	0031	212	
US	7199	147			B2		2007	0403										
PRIORIT:	Y APP	LN.	INFO	. :						JP 2	2001-	1768	26		A 2	0010	612	
										JP 2	2001-	3989	92	- 2	A 2	0011	228	
										WO 2	2002-	JP56	09	1	71 2	0020	606	
OTHER SO	OURCE	(S):			MAR	PAT	138:	3929	5									

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AB The title compds. I [wherein one to four groups represented by the general formula R1-X are present and may be the same or different from each other; A is a saturated or unsatd. five-membered heterocycle; X is a single bond, N(R3), O, S, or the like; R1 is hydrogen, halogeno, nitro, carboxyl, substituted or unsubstituted alkyl, or the like; R2 is hydrogen, halogeno, nitro, carboxyl, substituted or unsubstituted alkyl, or the like; and R3 is hydrogen, substituted or unsubstituted alkyl, or the like] are prepared N-(1-Benzyl-4-piperidinyl)-1H-indazole-5-amine dihydrochloride monohydrate in vitro showed IC50 of 0.4 µL/mL against Rho-kinase.

478834-96-7P, 5-(8-Azabicyclo[3.2.1]oct-3-yloxy)-1H-indazole RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic compds. as Rho-kinase inhibitors)

RN 478834-96-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(1H-indazol-5-yloxy)- (CA INDEX NAME)

REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:180545 CAPLUS

DOCUMENT NUMBER: 128:217374

TITLE: Preparation of piperidinylbenzoxazinones as tocolytic

oxytocin receptor antagonists.

INVENTOR(S): Sparks, Michelle A.; Freidinger, Roger M.; Perlow,

Debra S.; Williams, Peter D.

PATENT ASSIGNEE(S): Merck and Co., Inc., USA SOURCE: U.S., 36 pp.

CODEN: USXXAM
DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5726172	A	19980310	US 1997-779296	19970106
PRIORITY APPLN. INFO.:			US 1997-779296	19970106
OTHER SOURCE(S):	MARPAT	128:217374		

GΙ

AB Title compds. (I; R1 = H, halo; W = CRZR3R4, azabicyclooctyl, tetrahydrofuryl, etc.; R2 = H, halo, alkyl; R3 = R2, aryl; R4 = haloalkyl, CONH2, cyano, CHMeOH, piperidinyl, etc.; R8 = H, alkoxy), were prepared Thus, 1-[1-[4-hydroxy-Z-methoxybenzoyl]-piperidin-4-yl]-4H-3,1-benzoxazin-2(1H)-one in THF was treated with Ph3P and then with (S)-3-hydroxytetrahydrofuran and di-Et azodicarboxylate to give (R)-1-[1-[4-(terahydrofuran-3-oxy)-2-methoxybenzoyl]piperidin-4-yl]-4H-3,1-benzoxazin-2(1H)-one. In [3H]-oxytocin and [3H]-arginine vasopressin binding assays, representative I showed ICS0 = 5-500 mM.

Τ

IT 194151-48-9P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperidinylbenzoxazinones as tocolytic oxytocin receptor antagonists)

RN 194151-48-9 CAPLUS

CN Piperidine, 1-[4-(8-azabicyclo[3.2.1]oct-3-yloxy)-2-methoxybenzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, exo- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:499106 CAPLUS

DOCUMENT NUMBER: 127:190743

TITLE: Preparation of benzoxazinones as tocolytic oxytocin

receptor antagonists

INVENTOR(S): Sparks, Michelle A.; Friedinger, Roger M.; Perlow,

Debra S.; Williams, Peter D.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Sparks, Michelle A.;

Friedinger, Roger M.; Perlow, Debra S.; Williams, Peter D.

SOURCE: PCT Int. Appl., 113 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

GI

PAT	ENT	NO.			KIN	D	DATE			APP	LICAT	ION	NO.		D	ATE	
WO	9725	992			A1		1997	0724		WO	1997-	US57	 1		1	9970	113
	W:	AL,	AM,	AU,	AZ,	BA,	BB,	BG,	BR,	BY	, CA,	CN,	CU,	CZ,	EE,	GE,	HU,
		IL,	IS,	JP,	KG,	KR,	KZ,	LC,	LK,	LR	, LT,	LV,	MD,	MG,	MK,	MN,	MX,
		NO,	NZ,	PL,	RO,	RU,	SG,	SI,	SK,	ΤJ	, TM,	TR,	TT,	UA,	US,	UΖ,	VN,
		AM,	ΑZ,	BY,	KG,	KZ,	MD,	RU,	ΤJ,	TM	1						
	RW:	KE,	LS,	MW,	SD,	SZ,	UG,	AT,	BE,	CH	I, DE,	DK,	ES,	FI,	FR,	GB,	GR,
		ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	BJ	CF,	CG,	CI,	CM,	GΑ,	GN,	ML,
		MR,	ΝE,	SN,	TD,	TG											
AU	9716	989			A		1997	0811		ΑU	1997-	1698	9		1	9970	113
PRIORITY	APP	LN.	INFO	. :						US	1996-	1003	4P		P 1	9960	116
										GB	1996-	5701				9960	
										WO	1997-	US57	1		W 1	9970	113
OTHER SC	URCE	(S):			MARI	PAT	127:	1907	43								

AΒ The title compds. [I; R1 = H, halo; W = CR2R3R4, CHR3Ar, etc.; R2 = H, halo, C1-5 alky1; R3 = H, halo, C1-5 alky1, Ar; R4 = mono-, di-, tri-halogenated C1-5 alkyl, CONH2, etc.; R8 = H, C1-5 alkoxy; Ar = Ph, CF3C6H4, naphthyl, etc.], oxytocin receptor antagonists which are useful in treating preterm labor, dysmenorrhea, stopping labor prior to cesarean

Ι

delivery, increasing fertility and embryonic survival, and controlling the timing of estrus in a farm animal, were prepared and formulated. Thus, reaction of benzoxazinone II with Ph2CHBr in the presence of Ce2CO3 in DMF afforded I [R1 = H; W = diphenylmethyl; R8 = MeO]. Representative compds. I showed ICS0 of 5-500 nM against [3H]oxytocin and [3H]arginine vasopressin binding.

IT 194151-48-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of benzoxazinones as tocolytic oxytocin receptor antagonists)

RN 194151-48-9 CAPLUS

CN Piperidine, 1-[4-(8-azabicyclo[3.2.1]oct-3-yloxy)-2-methoxybenzoy1]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, exo- (9CI) (CA INDEX NAME)

L4 ANSWER 20 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1990:158064 CAPLUS

DOCUMENT NUMBER: 112:158064

TITLE: Preparation of 3-(pentafluorophenoxy)-8-

azabicyclo[3.2.1]octanes and their use as medicaments INVENTOR(S): Helsley, Grover Cleveland; Davis, Larry; Olsen, Gordon

PATENT ASSIGNEE(S): Hoechst-Roussel Pharmaceuticals, Inc., USA

SOURCE: Eur. Pat. Appl., 37 pp.

CODEN: EPXXDW DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
EP 333026	A1 19890920	EP 1989-104174	19890309
R: AT, BE, CH,	DE, ES, FR, GB, GF	R, IT, LI, LU, NL, SE	
US 4861889	A 19890829	US 1988-167942	19880314
DK 8901208	A 19890915	DK 1989-1208	19890313
JP 01275579	A 19891106	JP 1989-58073	19890313
US 4916139	A 19900410	US 1989-362639	19890607
PRIORITY APPLN. INFO.:		US 1988-167942 A	19880314
OTHER SOURCE(S):	CASREACT 112:15806	64; MARPAT 112:158064	
07 7 . 31			

For diagram(s), see printed CA Issue.

Title compds. I [(R1 = H, aryl; R2 = H, cyano, alkyl, (cycloalkyl)alkyl, arylalkyl, heteroarylalkyl, HCO, HCS, alkylcarbonyl, aminoalkyl, (alkylamino)thiocarbonyl, etc.] or their pharmaceutically acceptable salts, useful as analgesics, anticonvulsants, antihypertensives, and antidepressants, are prepared exo-I (R1 = R2 = H) (preparation given), 3-(3-chloropropyl)-6-fluoro-1,2-benzisoxazole, K2CO3, KI, and DMF were stirred for 7 h to give exo-I [R1 = H; R2 = 3-(6-fluoro-1,2-benzisoxazol-3v1)propv1] which was converted to the HCl salt (II). II at 50 mg/kg orally decreased arterial blood pressure in spontaneous hypertensive rat by 48 mm Hg. I were also tested for analgesic, anticonvulsant and antidepressant activity.

126044-60-8P 126044-78-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of, as drug) 126044-60-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(pentafluorophenoxy)-, hydrochloride, endo-(9CI) (CA INDEX NAME)

RN 126044-78-8 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 3-(pentafluorophenoxy)-, exo- (9CI) (CA INDEX

CN 8-Azabicyclo[3.2.1]octane, 3-(pentafluorophenoxy)-, exo- (9C1) (CA INDEX NAME)

L4 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1974:563495 CAPLUS

DOCUMENT NUMBER: 81:163495

81:25211a,25214a ORIGINAL REFERENCE NO.:

TITLE: Synthesis of some N-carboxylic acid derivatives of 3-phenoxypyrrolidines, 4-phenoxypiperidines, and 3-phenoxynortropanes with muscle relaxant and

anticonvulsant activities

AUTHOR(S): Boswell, Robert F., Jr.; Helsley, Grover C.; Duncan, Robert L., Jr.; Funderburk, William H.; Johnson, David

Res. Lab., A. H. Robins Co., Inc., Richmond, VA, USA

CORPORATE SOURCE:

SOURCE: Journal of Medicinal Chemistry (1974), 17(9), 1000-8

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: Enalish

OTHER SOURCE(S): CASREACT 81:163495

A series of 43 title compds. were prepared by the reaction of the appropriate 3-phenoxypyrrolidine, 4-phenoxypiperidine, or 3-phenoxynortropane intermediate with nitrourea [556-89-8], an isocyanate,

disubstituted carbamov1 chloride, or by treating N-benzyl intermediates with cvanogen bromide [506-68-3] or phosgene. Anticonvulsant or muscle relaxant activities in mice and cats, were observed for several compds. 3-(M-Chlorophenoxy)-1-methylcarbamoylpyrrolidine (I) [28482-91-9] showed

pronounced muscle relaxant activity comparable to mephenesin.

36768-90-8P 36768-92-0P 36768-94-2P RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of) RN 36768-90-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(trifluoromethyl)phenoxy]-, hydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

● HCJ

36768-92-0 CAPLUS RN

8-Azabicyclo[3.2.1]octane, 3-[3-(trifluoromethyl)phenoxyl-, hydrochloride, CN exo- (9CI) (CA INDEX NAME)

● HCl

RN 36768-94-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[4-(trifluoromethyl)phenoxy]-, hydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

● HCl

L4 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1972:405359 CAPLUS DOCUMENT NUMBER: 77:5359

ORIGINAL REFERENCE NO.: 77:939a,942a

TITLE: Antispasmodic 8-carbamov1-3-

(trifluoromethylphenyloxy)nortropanes

INVENTOR(S): Helsley, Grover C.; Boswell, Robert F., Jr.

PATENT ASSIGNEE(S): A. H. Robins Co., Inc. SOURCE: Ger. Offen., 27 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

	PAT	ENT NO.	KIND	DATE	APE	LICATION NO.		DATE
	DE	2143588	A	19720309	DE	1971-2143588		19710831
	US	3657253	A	19720418	US	1970-68593		19700831
	AU	7132714	A	19730301	AU	1971-32714		19710825
	ES	394543	A1	19741016	ES	1971-394543		19710826
	JP	51029159	В	19760824	JP	1971-64818		19710826
	FR	2103643	A1	19720414	FR	1971-31359		19710830
	FR	2103643	A5	19720414				
	za	7105772	A	19720426	ZA	1971-5772		19710830
	CH	534154	A	19730413	CH	1971-12695		19710830
	CA	941380	A1	19740205	CA	1971-121717		19710830
PRIO	RITY	APPLN. INFO.:			US	1970-68593	Α	19700831
O.T.	-							

GI For diagram(s), see printed CA Issue.

AB Eight title compds. [I, R = H2NCO, EtNHCO, MeNHCO, MeNHCS, or Me2NCO; R1 = m-CF3 or p-CF3 and their  $\beta$ -isomers (II)] were prepared from I or II (R = H) and RX (X = NHNO2 or C1) or EtNCO, MeNCO, or MeNCS. I and II had antispasmodic effects in mice. Thus,  $\theta$ -benzyl-3 $\alpha$ -nortropine was added to NaH in DMF and the mixture heated at 65-70°. -FC6H4CF3 in DMF was added and the mixture refluxed 5 hr to give 68% I (R = PhOHZ, R1 = m-CF3), which was hydrogenated in EtOH over Pd/C to give 92% I [R = H, R1 = m-CF3 (III)]. III was refluxed with H2NCONHNO2 in EtOH for 15 min to give 68% I (R = H2NCO, R1 = m-CF3).

IT 36768-90-8P 36768-91-9P 36768-92-0P

36768-93-1P 36768-94-2P 36768-95-3P RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of) RN 36768-90-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(trifluoromethyl)phenoxy]-, hydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

HC1

RN 36768-91-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(trifluoromethyl)phenoxy]-, endo- (9CI)

### (CA INDEX NAME)

Relative stereochemistry.

RN 36768-92-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(trifluoromethyl)phenoxy]-, hydrochloride, exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

● HCl

- RN 36768-93-1 CAPLUS
- CN 8-Azabicyclo[3.2.1]octane, 3-[3-(trifluoromethyl)phenoxy]-, exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

- RN 36768-94-2 CAPLUS
- CN 8-Azabicyclo[3.2.1]octane, 3-[4-(trifluoromethyl)phenoxy]-, hydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

● HC1

RN 36768-95-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(2-bromophenoxy)-, endo- (9CI) (CA INDEX NAME)

L4 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1972:140921 CAPLUS

DOCUMENT NUMBER: 76:140921
ORIGINAL REFERENCE NO.: 76:22891a,22894a

TITLE: 11-(3\alpha-Nortropanyloxy)-6, 11-

dihydrodibenzo[b,e]thiepines and their S-oxides

INVENTOR(S): Gadient, Fulvio PATENT ASSIGNEE(S): Sandoz Ltd.

SOURCE: Ger. Offen., 18 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
DE 2134820	Α.	19720120	DE 1971-2134820	_	19710713
CH 528538	A	19720930	CH 1970-528538		19700715
CH 529783	A	19721031	CH 1970-529783		19700715
CH 529784	A	19721031	CH 1970-529784		19700715
CH 529785	A	19721031	CH 1970-529785		19700715
NL 7109301	A	19720118	NL 1971-9301		19710706
BE 769968	A1	19720113	BE 1971-105886		19710713
FR 2100908	A5	19720324	FR 1971-25570		19710713
FR 2100908	B1	19750207			
AU 7131165	A	19730118	AU 1971-31165		19710713
US 3716544	A	19730213	US 1971-162290		19710713
HU 163777	В	19731027	HU 1971-SA2220		19710713
GB 1354538	A	19740530	GB 1971-32851		19710713
ES 393219	A1	19740916	ES 1971-393219		19710713
SE 368955	В	19740729	SE 1971-9119		19710714
AT 7106127	A	19750915	AT 1971-6127		19710714
PRIORITY APPLN. INFO.:			CH 1970-10735	Α	19700715
			CH 1970-10736	Α	19700715
			CH 1970-10737	A	19700715
			CH 1970-10738	A	19700715

- GI For diagram(s), see printed CA Issue.
- AB The title compds. (I, n = 0, 1, or 2; R = H, Et, Pr, iso-Pr, or Bu), useful as antiulcerous drugs, were prepared by reaction of II with HX optionally followed by S-oxidation with H202. Thus, HCl(g) was passed into III (n = 0) in C6H6 with cooling, crude II (n = 0) obtained was added to boiling HX (R = Et) in xylene, and the mixture refluxed 1 hr to give oily I (n = 0, R = Et) (IV) isolated as methanesulfonate. Treating IV in AcOH with H202 for 17 hr at room temperature or 7 hr at 80° yielded I (n = 1, R = Et) and I (n = 2, R = Et), resp. Similarly prepared were II other I.
- IT 36079-40-0P 36079-41-1P 36079-42-2P
- RL: SPN (Synthetic preparation); PREP (Preparation)
  (preparation of)
- RN 36079-40-0 CAPLUS
- CN 8-Azabicyclo[3.2.1]octane, 3-[(6,11-dihydro-5-oxidodibenzo[b,e]thiepin-11yl)oxy]-, endo-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 47435-95-0

CMF C21 H23 N O2 S

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 36079-41-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6,11-dihydro-5,5-dioxidodibenzo[b,e]thiepin-11-y1)oxy]-, endo-, methanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 47482-42-8 CMF C21 H23 N O3 S

Relative stereochemistry.

CM 2

CRN 75-75-2 CMF C H4 03 S

RN 36079-42-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6,11-dihydrodibenzo[b,e]thiepin-11-yl)oxy](CA INDEX NAME)

L4 ANSWER 24 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

1971:62936 CAPLUS 74:62936

ORIGINAL REFERENCE NO.: 74
TITLE: Con

ACCESSION NUMBER:

DOCUMENT NUMBER:

: 74:10157a,10160a

ITLE: Comparison of the pharmacological properties of

deptropine, its methobromide (BS 7020a) and the

10,11-dehydro analogs

AUTHOR(S): Timmerman, H.; Lavy, U. I.; Mulder, Dirk

CORPORATE SOURCE: Res. Dep., N. V. Koninklijke Pharm. Fabr., Amsterdam,

SOURCE: Archives Internationales de Pharmacodynamie et de

Therapie (1970), 187(2), 291-300

CODEN: AIPTAK; ISSN: 0003-9780

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The antihistaminic and anticholinergic activities of deptropine

ine antinistaminic and anticonlinergic activities of deptropine (30x-[(10,1]-dihydro-SH-dibenzo[a,d]cyclohepten-5-yl)oxyltropane citrate) (I) and its 10,11-dehydro analog, 30x-[(SH-dibenzo[a,d]cyclohepten-5-yl)oxyltropane maleate (II maleate) were compared in vivo and in vitro in guinea pigs with those of their resp. quaternary methobromide derivs., III and IV. Quaternization enhanced the anticholinergic activities in vitro and in vivo, except on oral administration, probably due to the low absorption of III and IV. The central anticholinergic activity of III and IV was very weak. Little difference was observed between the antinistaminic activities of these 4 compds. Investigations into the effect of these compds on the refractory period of the isolated guinea pig heart demonstrated a correlation between the lengthening of that parameter and the level of antinistaminic activity. In this regard, III and IV were much less active than I and II

maleate, possibly because III and IV failed to reach the site of action.

II 31420-72-1
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmacology of) RN 31420-72-1 CAPLUS

CN 1αH,5αH-Nortropane, 3α-(5H-dibenzo[a,d]cyclohepten-5-yloxy)-, maleate (1:1) (8CI) (CA INDEX NAME)

CM

CRN 47337-72-4 CMF C22 H23 N O

Relative stereochemistry.

CM

CRN 110-16-7

# CMF C4 H4 O4

Double bond geometry as shown.



L4 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1967:74665 CAPLUS DOCUMENT NUMBER: 66:74665 ORIGINAL REFERENCE NO.: 66:13979a,13982a TITLE: Effect of alkyl substitution in drugs. XVI. Basic ethers of 10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5ol and some related compounds AUTHOR(S): Van der Stelt, Cornelius; Funcke, A. B. H.; Tersteege, H. M.; Nauta, Wijbe T. CORPORATE SOURCE: N. V. Koninkl. Pharmaceut. Fabrieken, Amsterdam, Neth. SOURCE: Arzneimittel-Forschung (1966), 16(10), 1342-5 CODEN: ARZNAD; ISSN: 0004-4172 DOCUMENT TYPE: Journal LANGUAGE: English For diagram(s), see printed CA Issue. cf. CA 66, 46310s. Twenty-one basic dibenzocycloheptene ethers and 19 cyclooctene ethers were synthesized and were tested for spasmolytic activities on isolated guinea pig ileum, for antiasthmatic activity in quinea pigs, for antiulcerogenic effect in Shay rats, and for stimulating effects on the central nervous system in mice and quinea pigs. The tropine ethers had the greatest spasmolytic activities. Quaternization afforded substances which were even more effective against acetylcholine but their antihistaminic and central activities were reduced or were completely absent. Detropene citrate was the most effective derivative against bronchoconstriction in guinea pigs. Tropine ethers with the basic structure I and R1 = H and R2 = tropan-3 $\alpha$ -yl with MeBr and R1 = 3-Me and R2 = tropan-3 $\alpha$ -yl with maleic acid, and the tropine ethers with the basic structure II and R1 = H and R2 = tropan-3 $\alpha$ -yl with maleic acid and R1 = 3-Me and R2 = tropan-3 $\alpha$ -yl with maleic acid, protected Shay rats against exptl.-induced gastric ulcers. Basic structure I with R1 = H and R2 = 2-(dimethylamino)ethyl with maleic acid, or R1 = H and R2 = 1-methyl-4-piperidyl with maleic acid, stimulated the central nervous system. No general trends regarding the effect of substitution on activity by these compds. was observed. However, derivs. carrying a tert-Bu group or halogen in the 3-position had little activity, and activity was decreased when the substituent on the N atom of the nortropyl residue was larger than Et. 2189-52-8P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and biol. activity) 2189-52-8 CAPLUS CN 1αH, 5αH-Nortropane, 3α-[(10,11-dihydro-5Hdibenzo[a,d]cyclohepten-5-yl)oxy]-, maleate (1:1) (8CI) (CA INDEX NAME)

CRN 47337-71-3 CMF C22 H25 N O

CM

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

L4 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1965:462988 CAPLUS

DOCUMENT NUMBER: 63:62988 ORIGINAL REFERENCE NO.: 63:11522f-h

3-(Dibenzo[a,d]-1,4-cycloheptadien-5-yloxy)nortropane TITLE:

PATENT ASSIGNEE(S): N. V. Koninklijke Pharmaceutische Fabrieken voorheen Brocades-Stheeman & Pharmacia

9 pp.

DOCUMENT TYPE: Patent Unavailable

LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 643795		19640814	BE	
PRIORITY APPLN. INFO.:			GB	19630215

For diagram(s), see printed CA Issue.

AB The title compound (I) exhibits spasmolytic activity but with less side-effects than the corresponding N-Me derivative (II, R = Me) (Belg. 589,192). I can also be used as intermediate for other therapeutically active agents, e.g. N-alkylated compds. The preparation is given. Thus, a mixture of 6 g. nortropine, 23.6 g. dibenzo[a,d]-1,4-cycloheptadien-5-yl chloride and 8.9 g. NBu3 in 175 ml. PhMe was refluxed 6 hrs.; on addition of 250 ml. acetone and 300 ml. petr. ether (b. 28-40°) 7.6 g. crude HCl salt of I was precipitated, m. 192-4° (PrOH). Further, a solution of II (R = Me) (no weight given) in 100 ml. anhydrous C6H6 was added dropwise to a

solution of 11.66 g. BrCN in 100 ml. C6H6; the mixture was refluxed 3 hrs., H2O added, the organic layer separated, dried and evaporated to yield an oil which

addition of EtOH gave 12.5 g. II (R = CN) (IV), m. 158-60° (EtOH). A solution of 9.7 g. IV, 24.0 g. KOH in 12 ml. H2O, and 48 ml. EtOH was refluxed 20 hrs. to yield after the usual workup 11.3 g. I maleate, m. 184.5-86° (EtOH). The preparation of some pharmaceutical forms is given.

2189-52-8

on

(Derived from data in the 7th Collective Formula Index (1962-1966))

RN 2189-52-8 CAPLUS

CN 1αH, 5αH-Nortropane, 3α-[(10,11-dihydro-5Hdibenzo[a,d]cyclohepten-5-yl)oxy]-, maleate (1:1) (8CI) (CA INDEX NAME)

CM

CRN 47337-71-3 CMF C22 H25 N O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

- IIT 1956-58-7P, Nortropane, 3-[(10,1]-dihydro-5Hdibenzo[a,d]cyclohepten-5-yl)oxyl-, hydrochloride 2183-57-5P,
  Nortropane, 3-[(10,1]-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)oxy]102346-52-1P, Nortropane, 3-[(10,1]-dihydro-5Hdibenzo[a,d]cyclohepten-5-yl)oxyl-, maleate (1:1)
  RL: PREP (Preparation)
- (preparation of) RN 1956-58-7 CAPLUS
- CN Nortropane, 3-[(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)oxy]-, hydrochloride (7CI, 8CI) (CA INDEX NAME)

HCl

RN 2183-57-5 CAPLUS CN Nortropane, 3-1(1)

Nortropane, 3-[(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)oxy]- (7CI, 8CI) (CA INDEX NAME)

- RN 102346-52-1 CAPLUS
- CN Nortropane, 3-[(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-y1)oxy]-, maleate (7CI) (CA INDEX NAME)

CM 1

CRN 2183-57-5 CMF C22 H25 N O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

L4 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1965:462987 CAPLUS

DOCUMENT NUMBER: 63:62987 ORIGINAL REFERENCE NO.: 63:11522c-f

TITLE: N.N-Alkyleniminoalkanamidines

INVENTOR(S): Mull, Robert P.

CIBA Corp. PATENT ASSIGNEE(S): SOURCE: 4 pp. DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE ----HS 3189601 19650615 US 1964-336881 19640110 PRIORITY APPLN. INFO.: IIS 19640110 AB Addition of 50 g.(CH2)6NH to 212 g. CH2:CHCN, followed by 38% PhCH2NMe3+OH-, refluxing 1.5 hrs., stirring overnight, and fractionation gave (CH2)6NCH2CH2CN (I), b14 121-3°, n30D 1.4710. I (30.4 q.), 13.9 q. NH2OH.HCl in 300 ml. anhydrous EtOH, and NaOEt from 4.6 g. Na in 150 ml. anhydrous EtOH refluxed 3 hrs. gave, after 72 hrs. and treatment of oily concentrates in anhydrous EtOH with dry HCl and adding Et20, (CH2) 6NCH2CH2C(NH2): NOH.2HCl (II), m. 183-5° (decomposition) (EtOH). Action of 40% NaOH on II and extraction with CHC13 gave (CH2)6NCH2CH2C(NH2):NOH (III), m. 80-82° (xylene). Hydrogenation of 18.5 g. III on 5 g. Rh-Al203 in 100 ml. anhydrous EtOH, followed by filtration into HBr in EtOH gave (CH2)6NCH2CH2C(NH2):NH.2HBr (IV), m. 164-6° (EtOH-C6H14). By similar methods were obtained (CH2)5NCH2CH2C(NH2):NH.2HBr, m. 169-70° (EtOH-C6H14); (CH2)7NCH2CH2C(NH2):NH.2HBr, m. 176-8° (EtOH-Et2O); and (CH2)6NCH2C(NH2):NH.2HBr, m. 186-8° (C6H14-EtOH). HBr was passed through 15.2 g. I and 7.0 g. EtSH 30 min. to give (CH2)6NCH2CH2C(SEt):NH.2HBr, m. 152-4°, which on treatment with 10% NH3 in anhydrous EtOH gave IV. To a refluxing solution of 52.5 g. (CH2)6NH in 125 ml. C6H6 was added 40 g. Br(CH2)3CN in 60 ml. C6H6 to give, after 5 hrs. refluxing and fractionation, 36.2 g. (CH2)6N(CH2)3CN (V), b15 129-30°. A mixture of 36.2 g. V, 12.66 g. NH2OH.HCl, and 450 ml. EtOH, treated 3 hrs. with 4.22 g. Na in 250 ml. EtOH gave 11.4 g. (CH2)6N(CH2)3C(NH2):NOH, m. 87-9° (C6H14-EtOH), 10 g. of which gave 7 g. (CH2)6N(CH2) 3C(NH2):NH.2HBr, m. 142-4° (C6H14-EtOH). These amidines and their dihydrobromides can be used as antihypertensive agents. IT 2189-52-8

RN

CN 1αH,5αH-Nortropane, 3α-[(10,11-dihydro-5H-

dibenzo[a,d]cyclohepten-5-vl)oxy]-, maleate (1:1) (8CI) (CA INDEX NAME)

(Derived from data in the 7th Collective Formula Index (1962-1966))

CM 1

CRN 47337-71-3 CMF C22 H25 N O

2189-52-8 CAPLUS

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

L4 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1965:22721 CAPLUS

DOCUMENT NUMBER: 62:22721
ORIGINAL REFERENCE NO.: 62:4074d-f

TITLE: 3-(Dibenzo[a,d]-1,4-cycloheptadien-5-yloxy)nortropane

and its non-toxic salts

PATENT ASSIGNEE(S): N. V. Koninklijke Pharmaceutische Fabrieken voorheen

Brocades-Stheeman & Pharmacia

SOURCE: 7 pp.
DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PR

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 6401268		19640817	NL 1964-1268	19640213
RIORITY APPLN. INFO.:			GB	19630215

GI For diagram(s), see printed CA Issue.

AB The title compound (I) had spasmolytic action [as did its N-Me derivative (II), Belg. 589,192], but was superior to II as to side effects. A mixture of 6 g. nortropine, 23.6 g. dibenzo[a,d]-1,4-cycloheptadien-5-yl chloride, and 8.9 g. BuN in 175 cc. dry toluene refluxed 6 hrs., the solvent distilled in vacuo, and a mixture of 250 cc. Me2CO and 300 cc. petr.-ether (b. 28-40°) added gave 7.6 g. I.HCl, m. 192-4° (PrOH). II and BrCN in C6H6 gave the N-CN derivative of I, m. 158-60° (EtOH), which

with KOH gave I, isolated as its maleate, m. 184.5-6° (EtOH). A pharmaceutical composition containing the citrate of I is given.

IT 2183-57-5, Nortropane, 3-[(10,11-dihydro-5Hdibenzo[a,d]cyclohepten-5-y1)oxy]-

(derivs.)

RN 2183-57-5 CAPLUS

CN Nortropane, 3-[(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)oxy]- (7CI, 8CI) (CA INDEX NAME)

II 1956-58-7P, Nortropane, 3-[(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-y1)oxy]-, hydrochloride 2183-57-5P,
Nortropane, 3-[(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-y1)oxy]102346-52-1P, Nortropane, 3-[(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-y1)oxy]-, maleate (1:1)
RL: PREP (Preparation)
(preparation of)

RN 1956-58-7 CAPLUS

CN Nortropane, 3-[(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)oxy]-, hydrochloride (7CI, 8CI) (CA INDEX NAME)

HC1

RN 2183-57-5 CAPLUS

CN Nortropane, 3-[(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-y1)oxy]- (7CI, 8CI) (CA INDEX NAME)

RN 102346-52-1 CAPLUS

CN Nortropane, 3-[(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)oxy]-, maleate (7CI) (CA INDEX NAME)

CM 1

CRN 2183-57-5

CMF C22 H25 N O

CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



L1 L2 L3

(FILE 'HOME'	ENTERED AT 12	:26:01 ON 02	MAR 2008)
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